

004T60" 226T9950

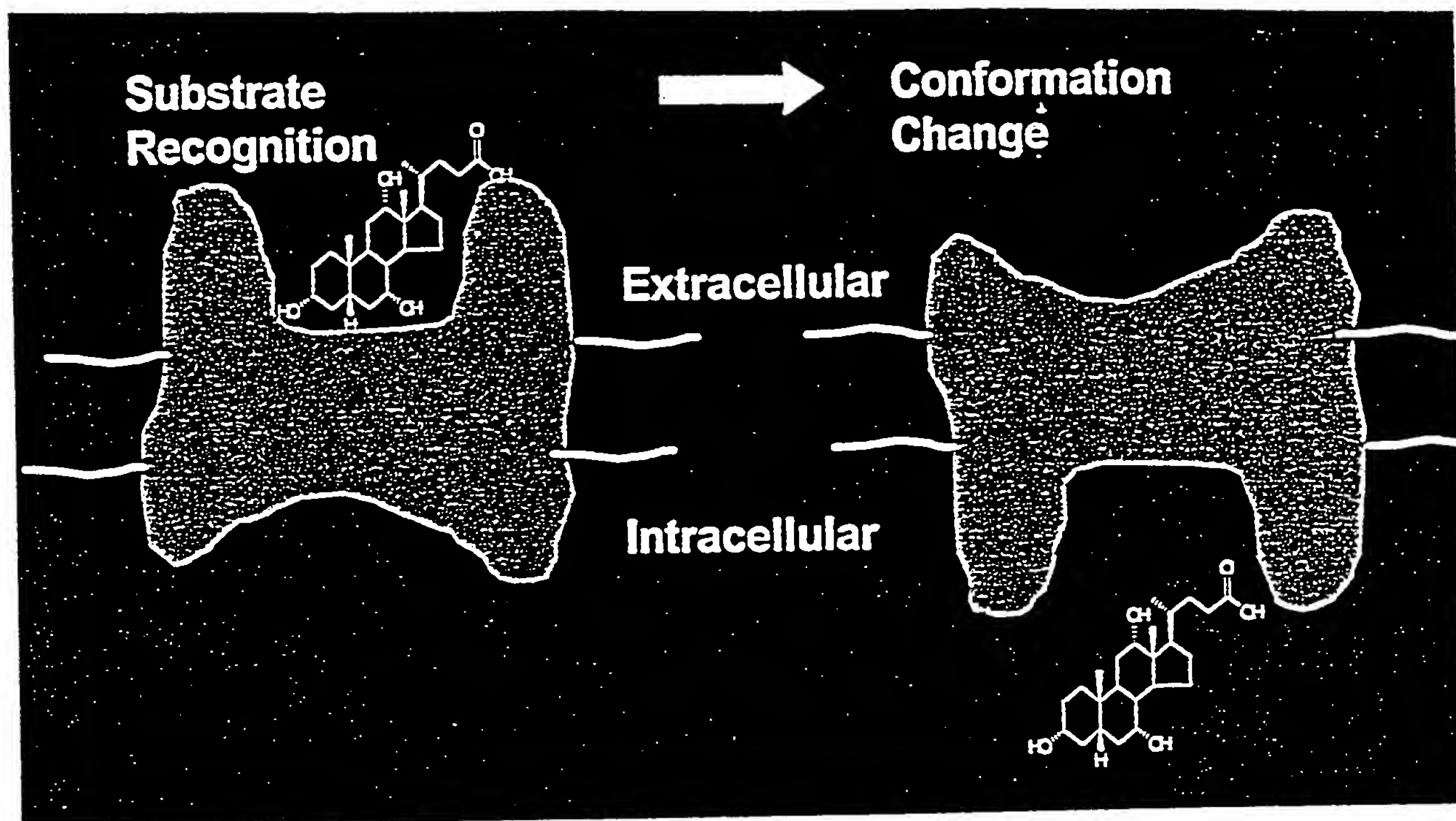


FIG. 1

004750" 257950

Intestinal lumen

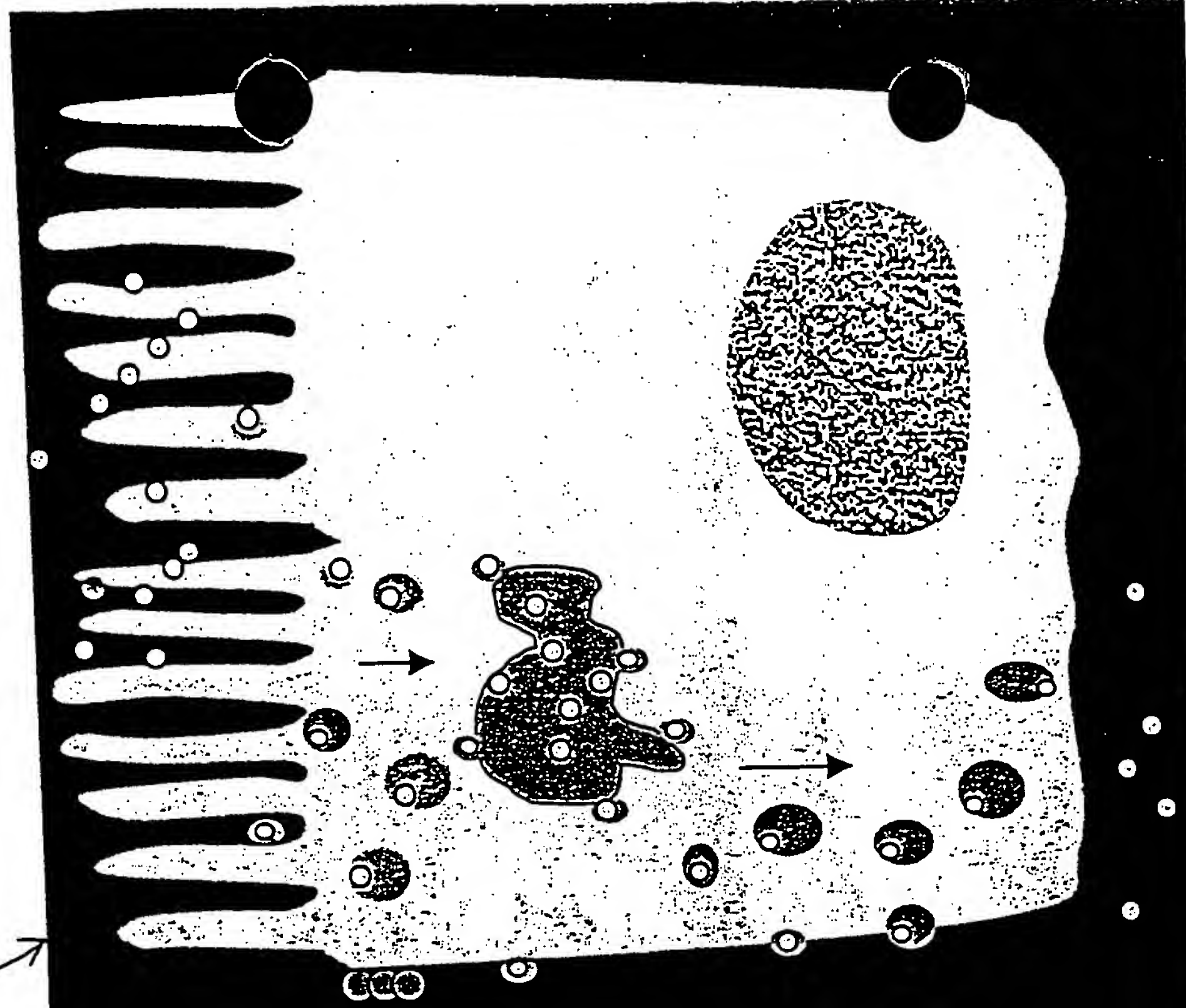


FIG. 2B

Blood

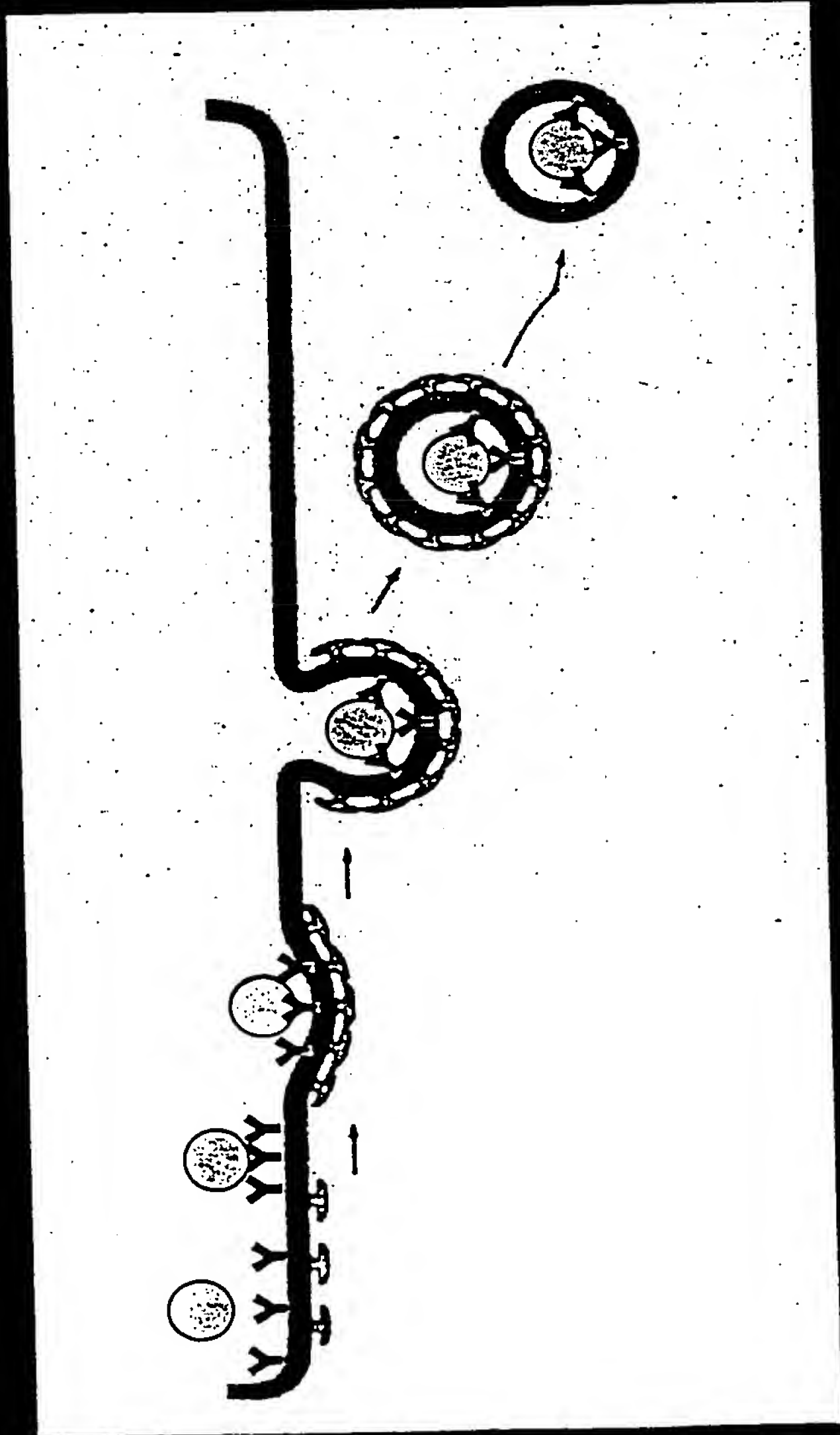


FIG. 2A

004T60" 226T9960
09661927" 091400

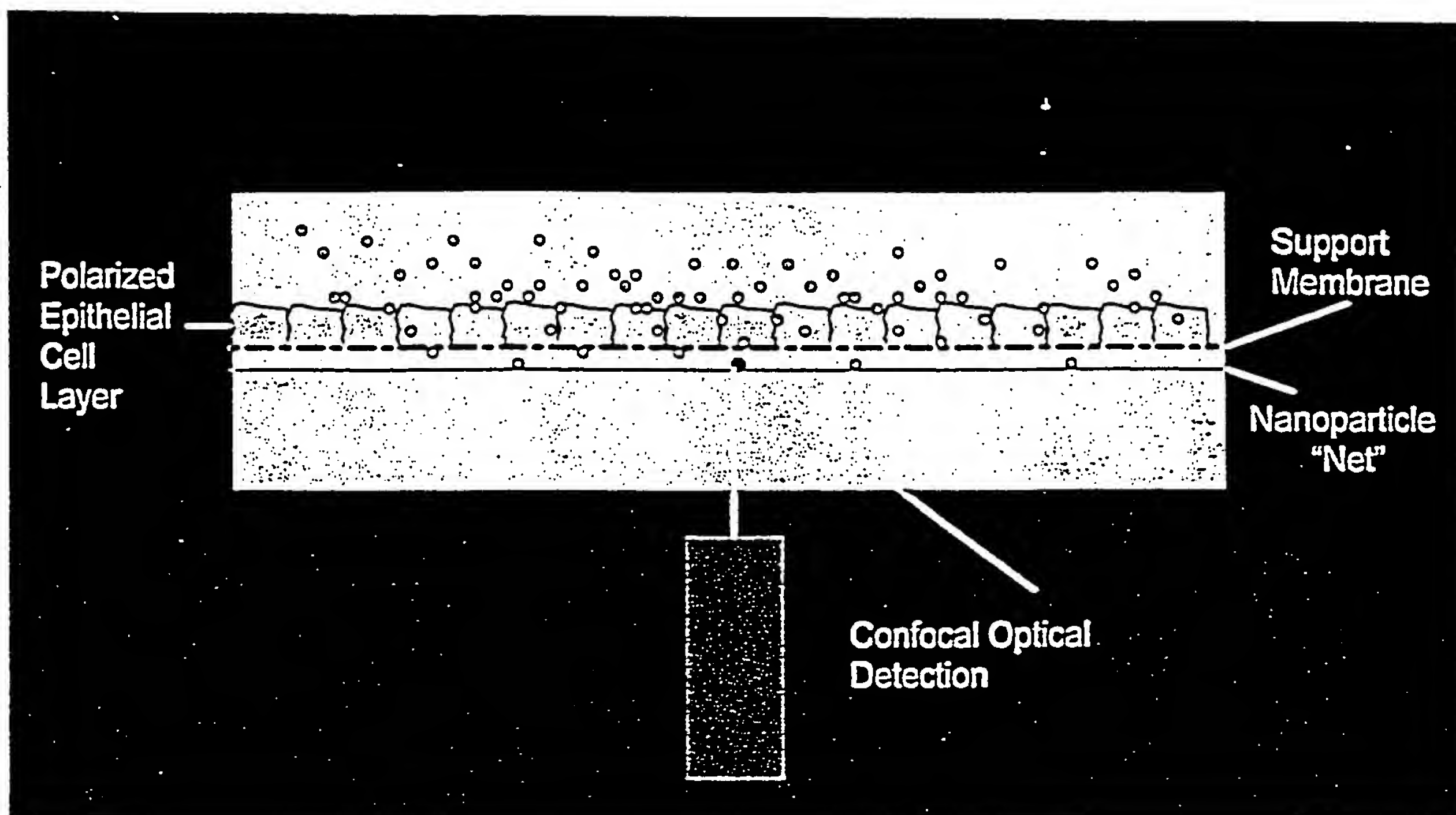


FIG. 3

Substrate-mediated Efflux "Trans-stimulation"

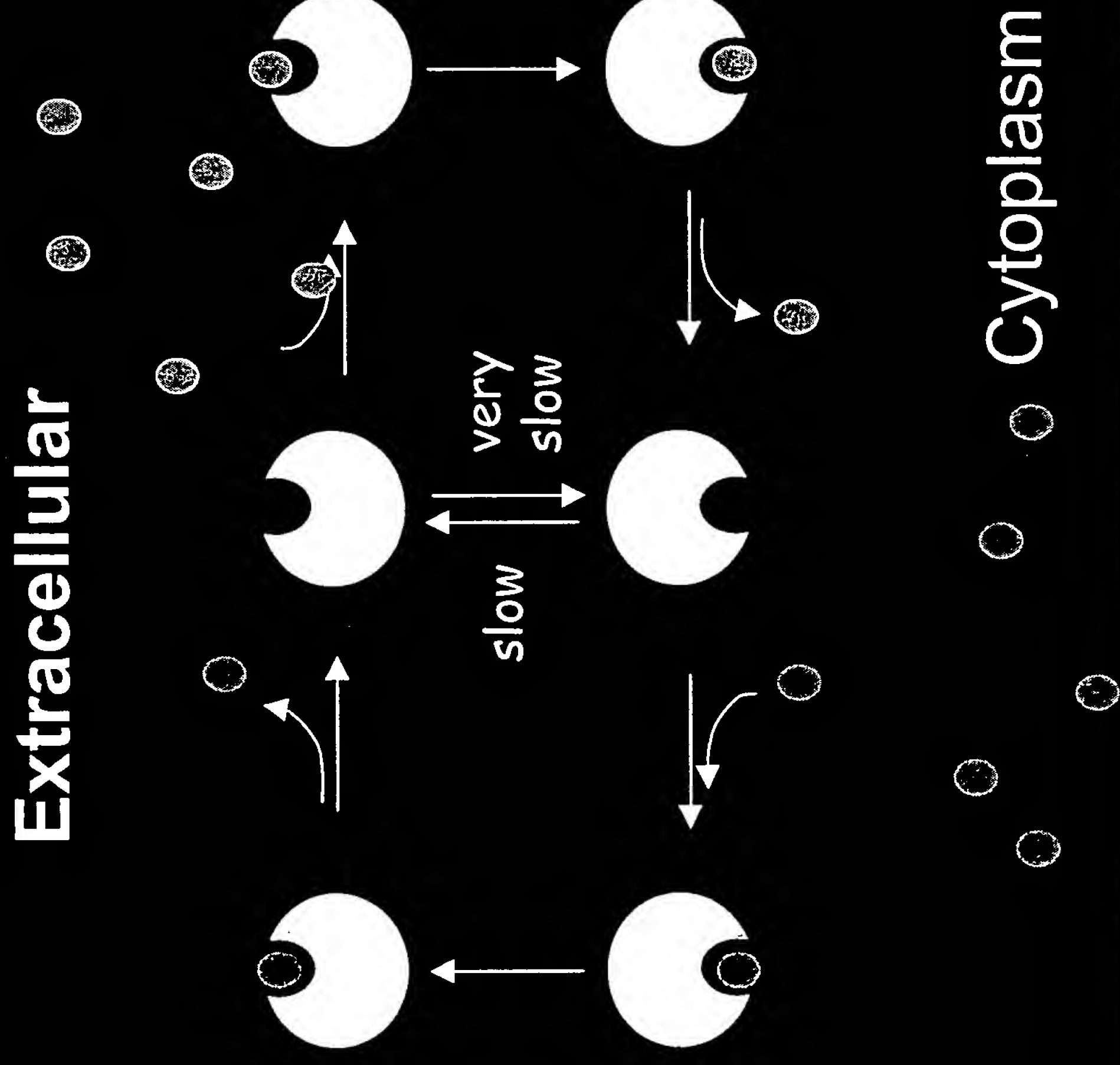


FIG. 4

Uptake of XP10486 into CHO and CHO-PEPT1 cells

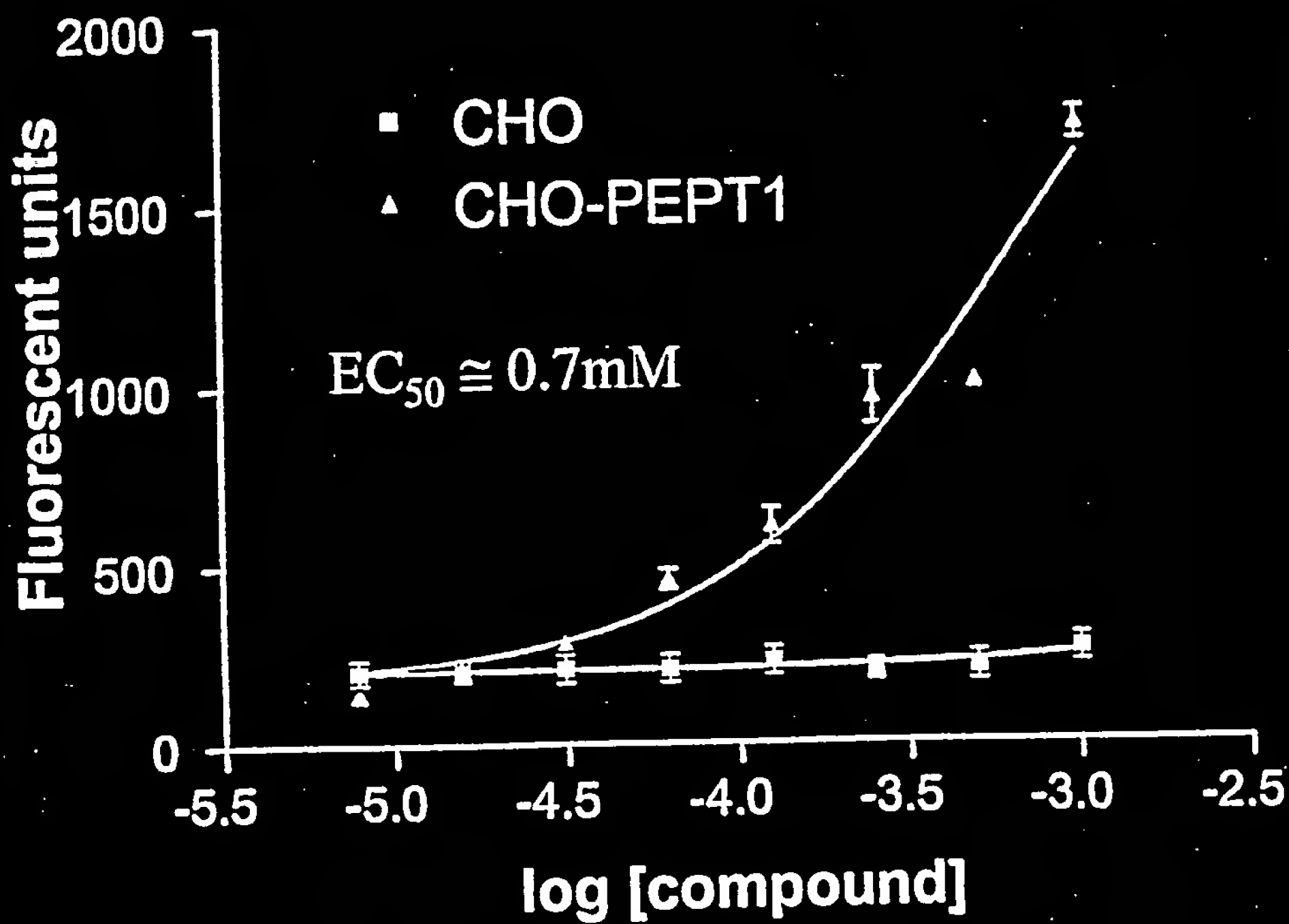


FIG.5

LBAT Competition in 96 and 384 Well Formats

Fig. 6A

96 Well

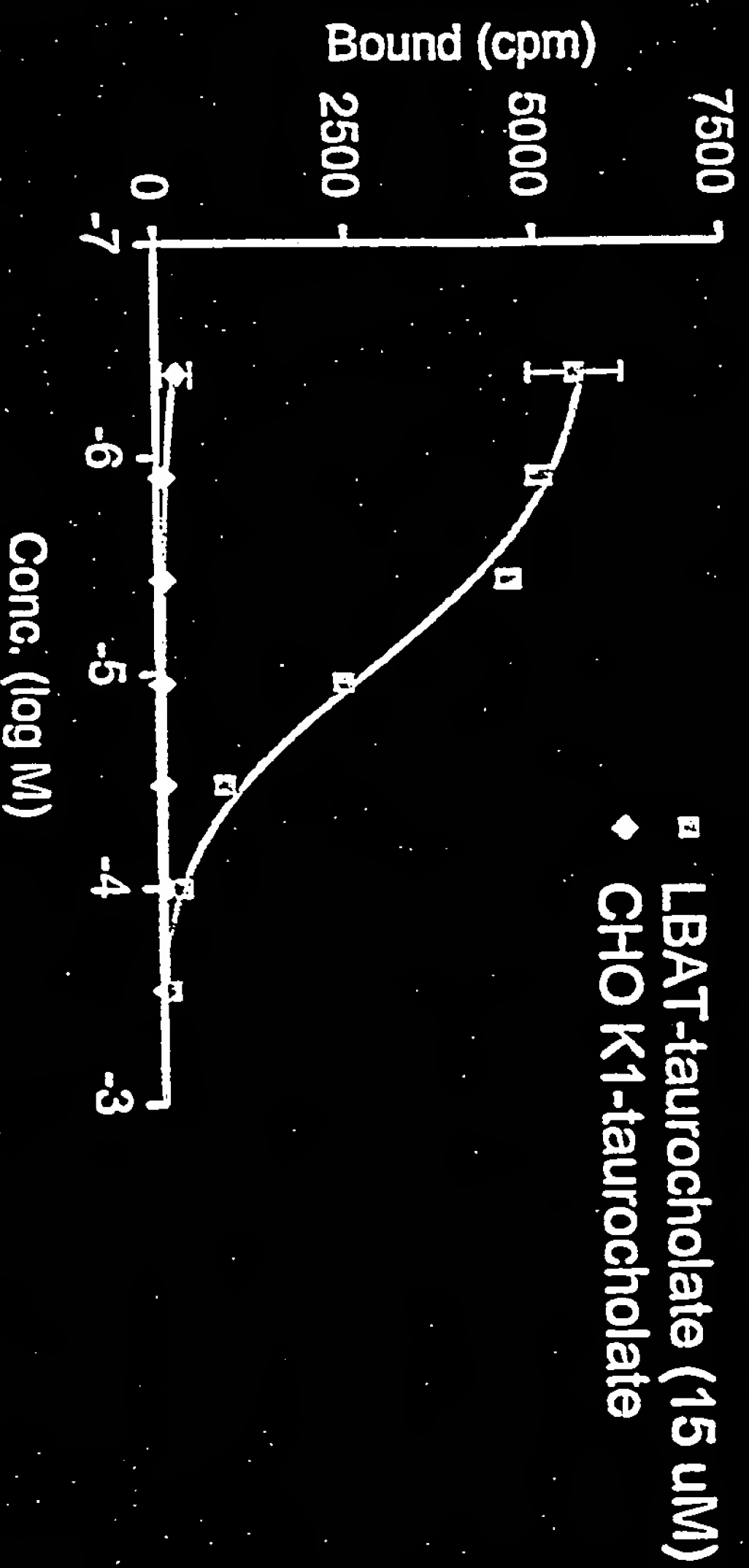


Fig. 6B

384 Well



10 Pools from 200-Member Fluorescent Dipeptide Library

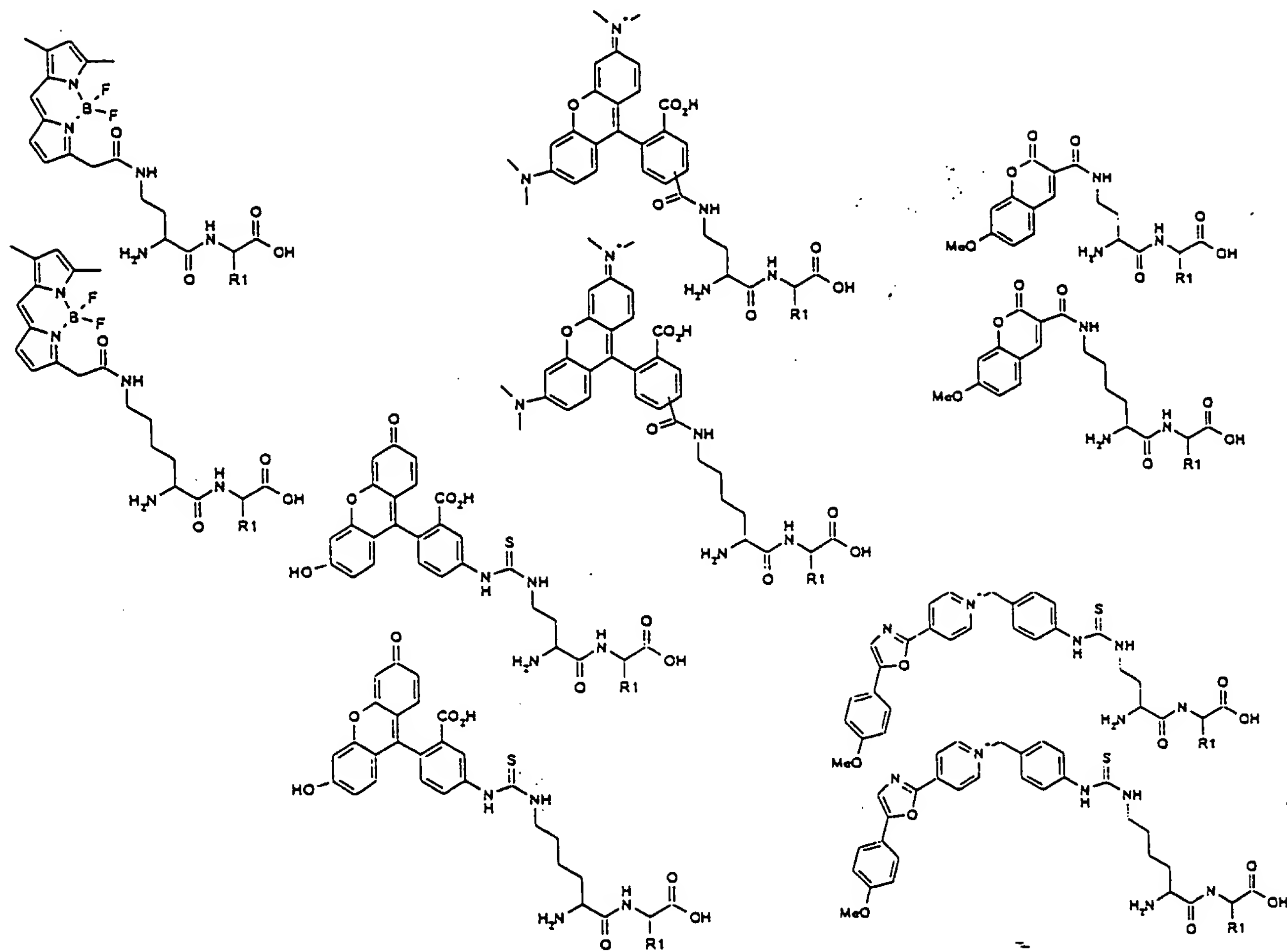


FIG. 7

Synthesis of a Dipeptide Library

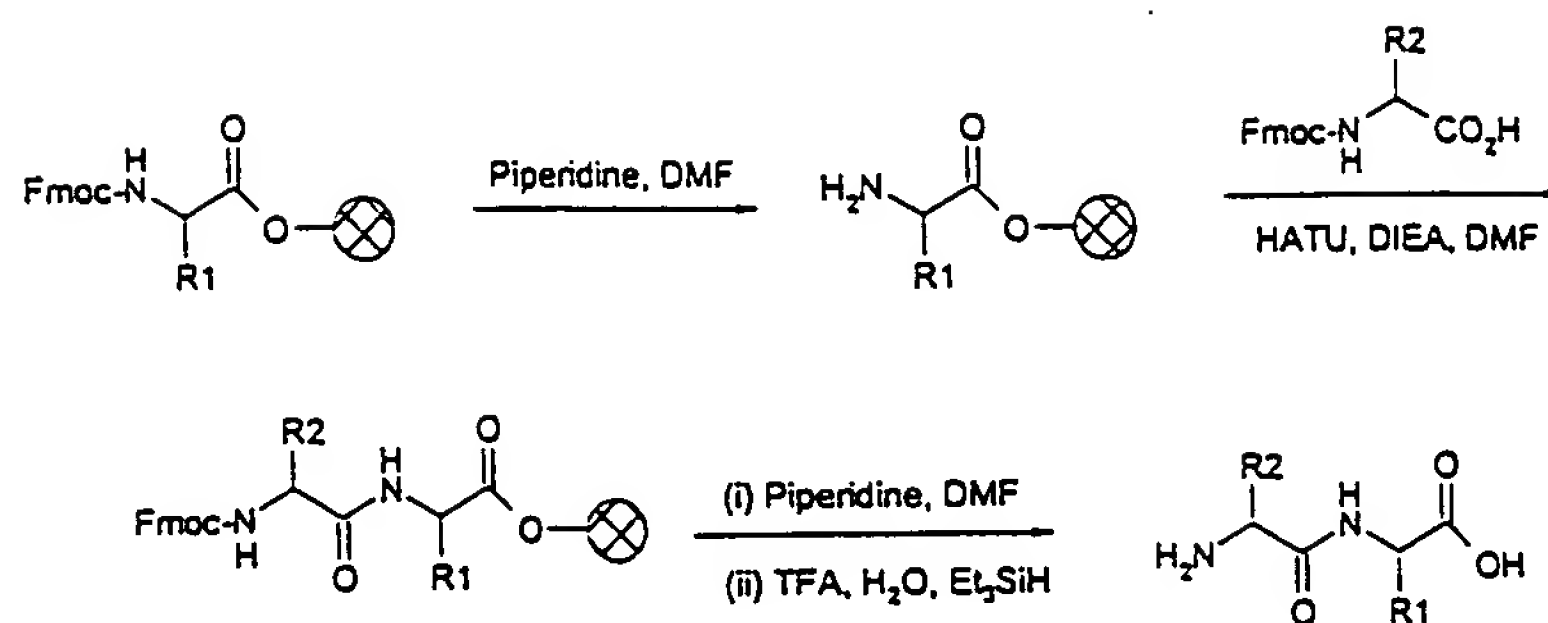


FIG. 8

036197-031400

Synthesis of β -Lactam Library

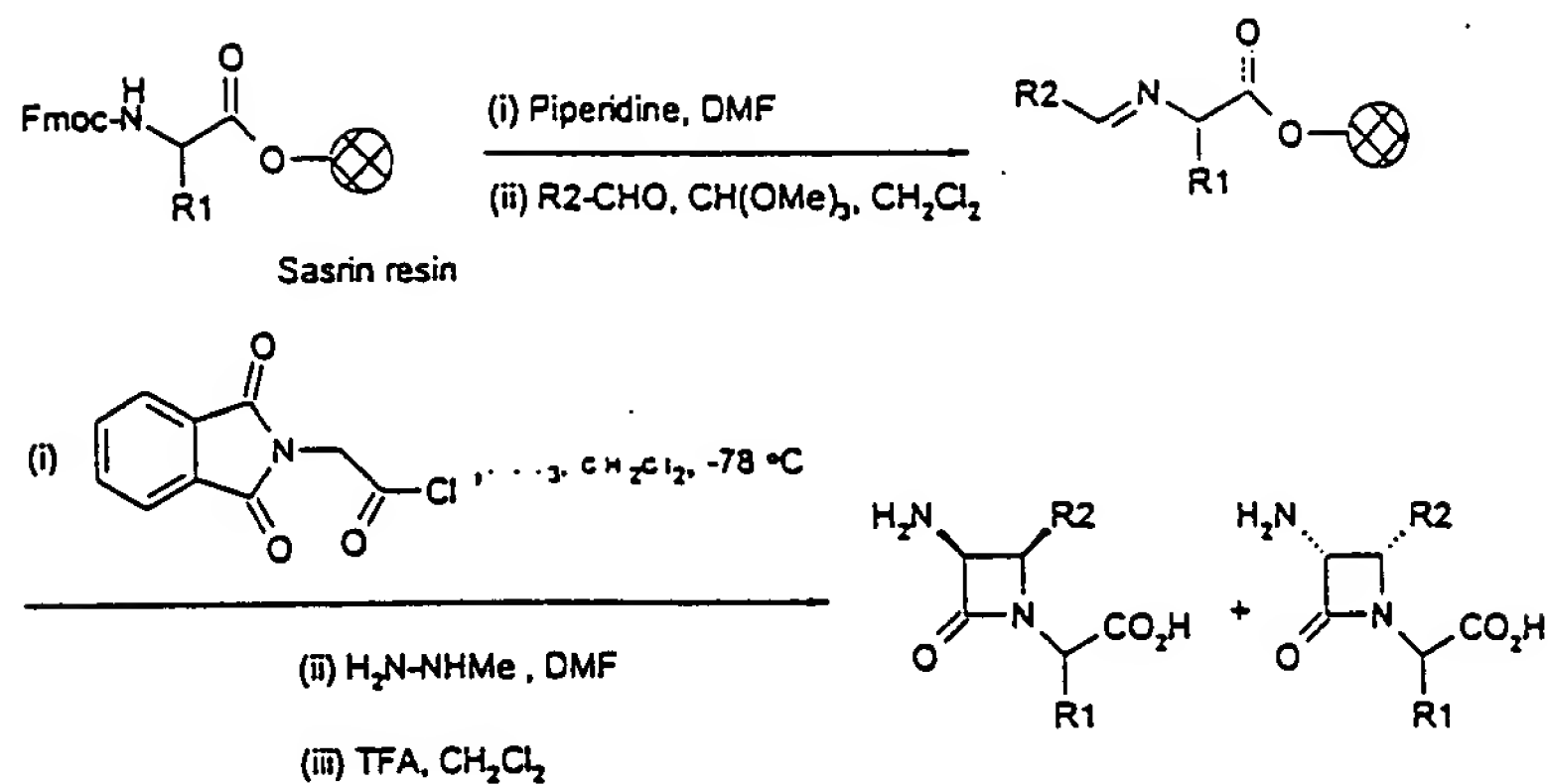


FIG. 9

05661937-091400

Synthesis of Fluorescent PEPT1 Substrate XP10486

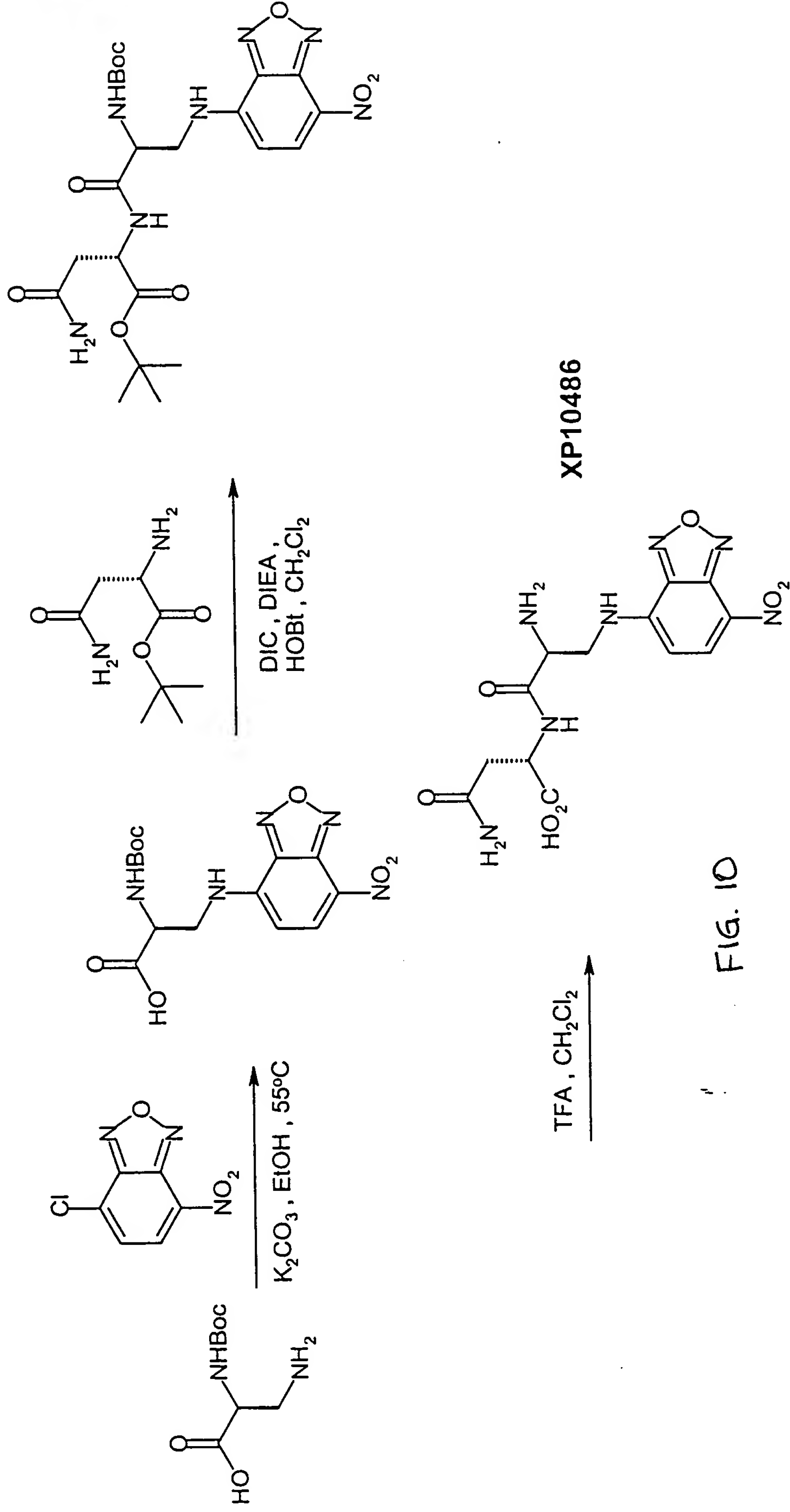


FIG. 10

Using Combinatorial Potential of Dipeptide Motif to Rapidly Establish

Structure-Activity Relationships for PEPT1

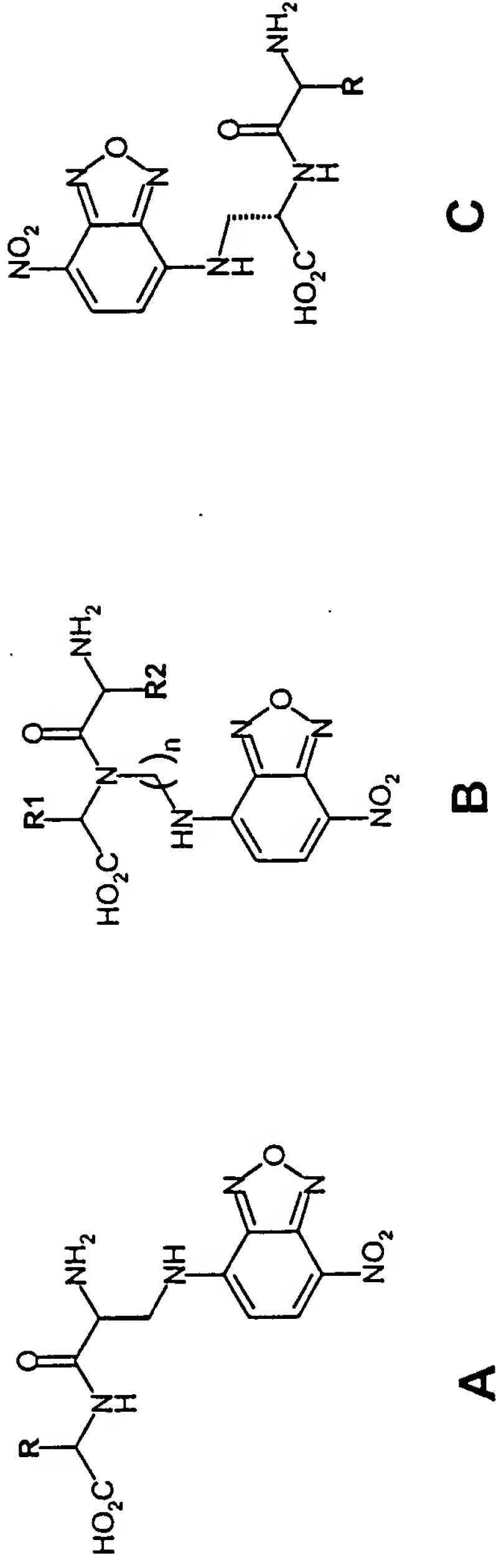


FIG. 11

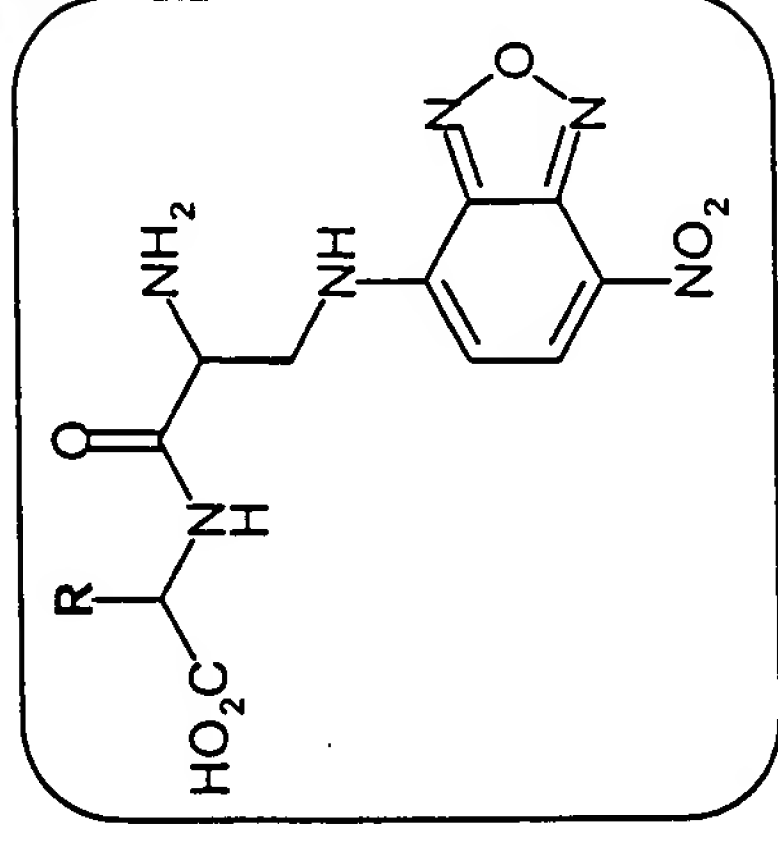
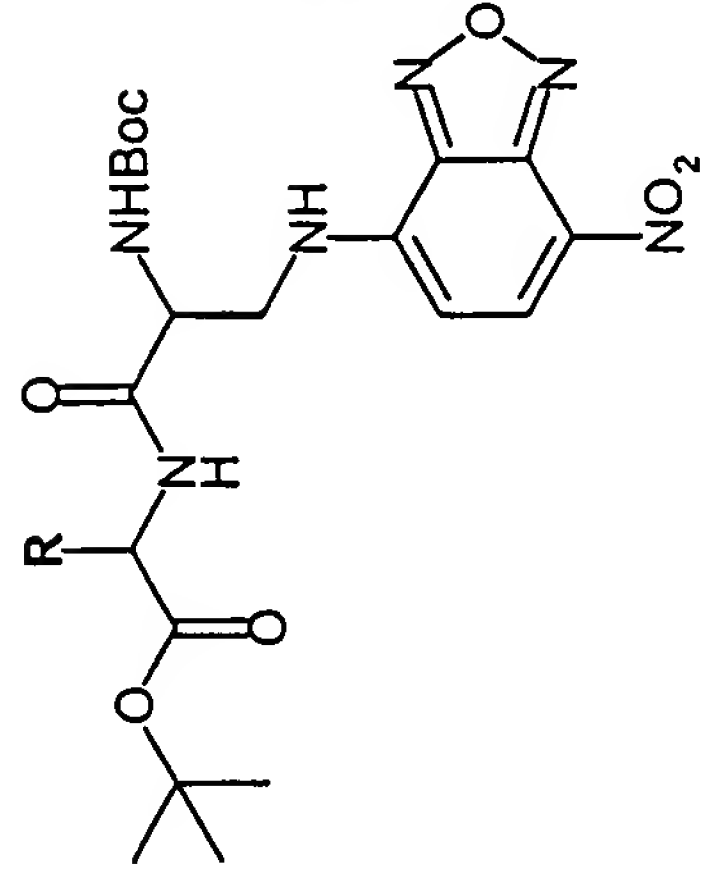
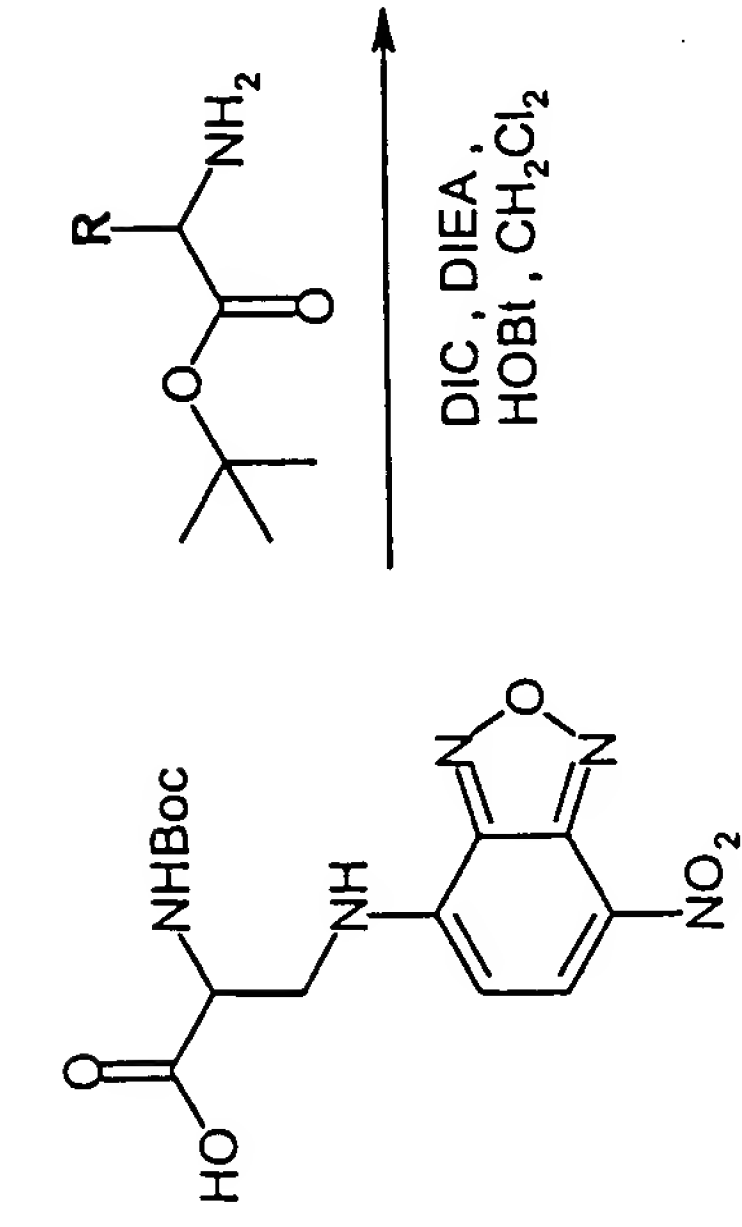
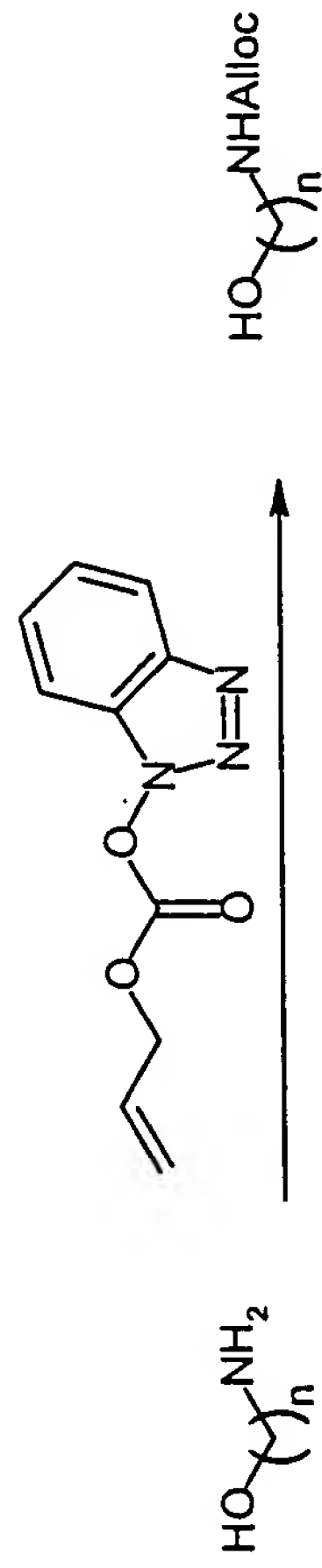
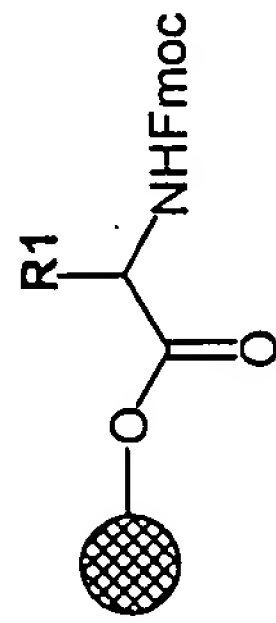
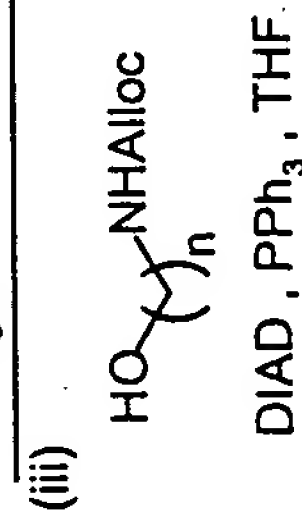
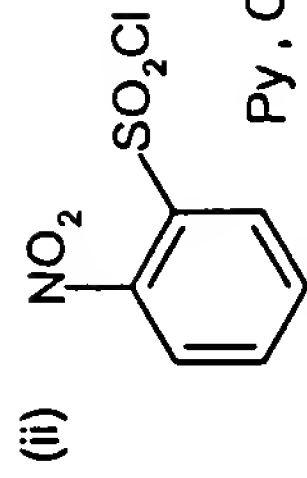


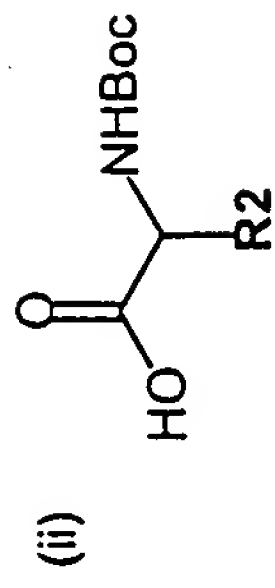
FIG. 12



(i) Piperidine, DMF



(i) PhSNa , DMF



DIC, DIEA, HOBT, CH_2Cl_2

(iii) $[\text{Pd}(\text{PPh}_3)]_4$, Me_3SiN_3 , THF

(iv) NBD-Cl, K_2CO_3 , EtOH

(v) TFA, CH_2Cl_2

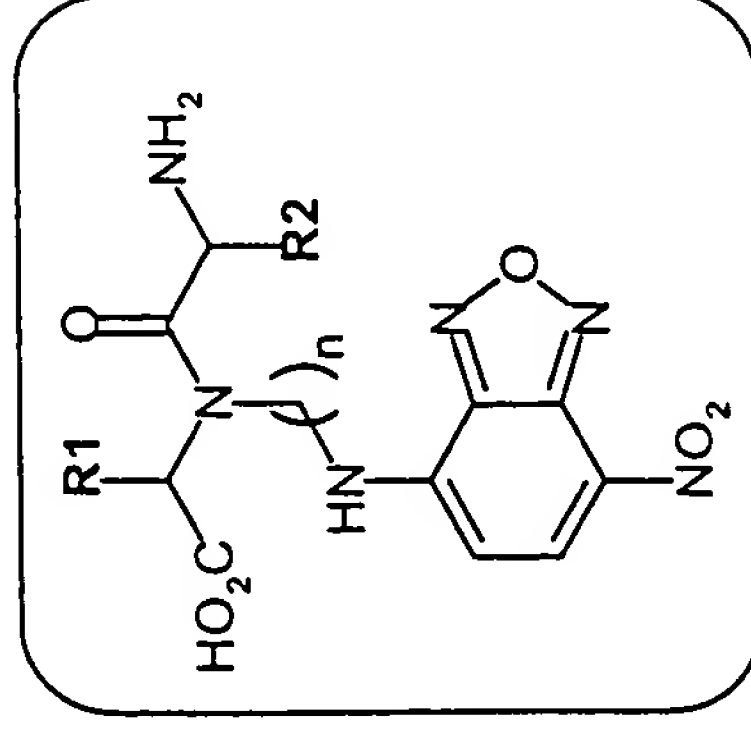
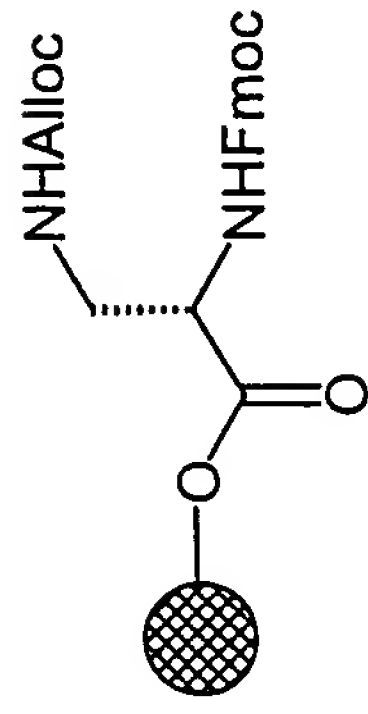
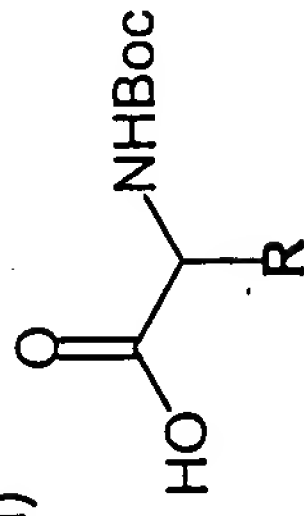


FIG. 13

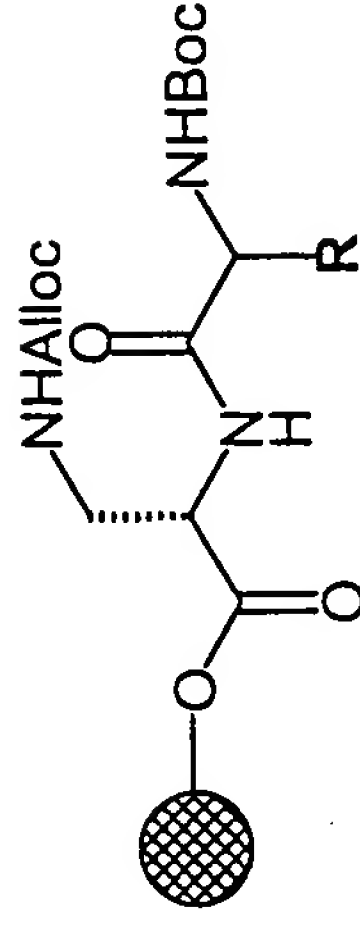


(i) Piperidine, DMF

(ii)



DIC, DIEA, CH₂Cl₂



(i) [Pd(PPh₃)]₄, Me₃SiN₃, THF

(ii) NBD-Cl, K₂CO₃, EtOH

(iii) TFA, CH₂Cl₂

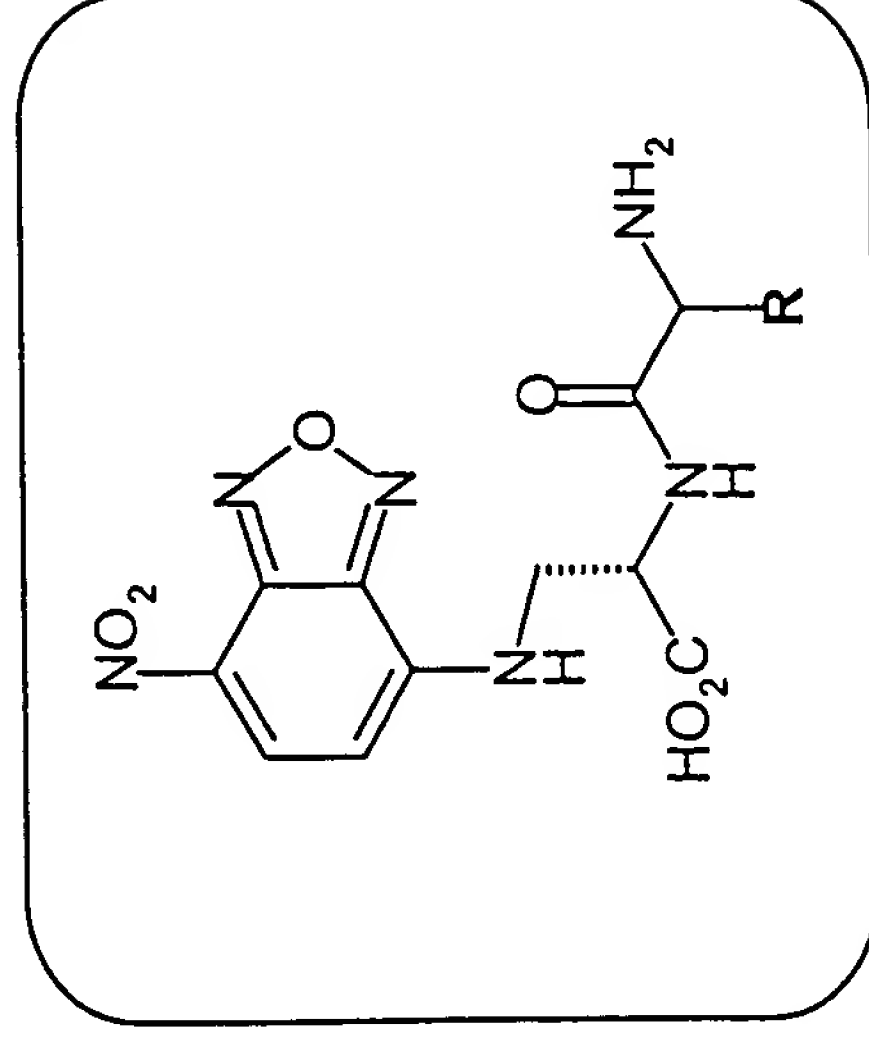


FIG. 14

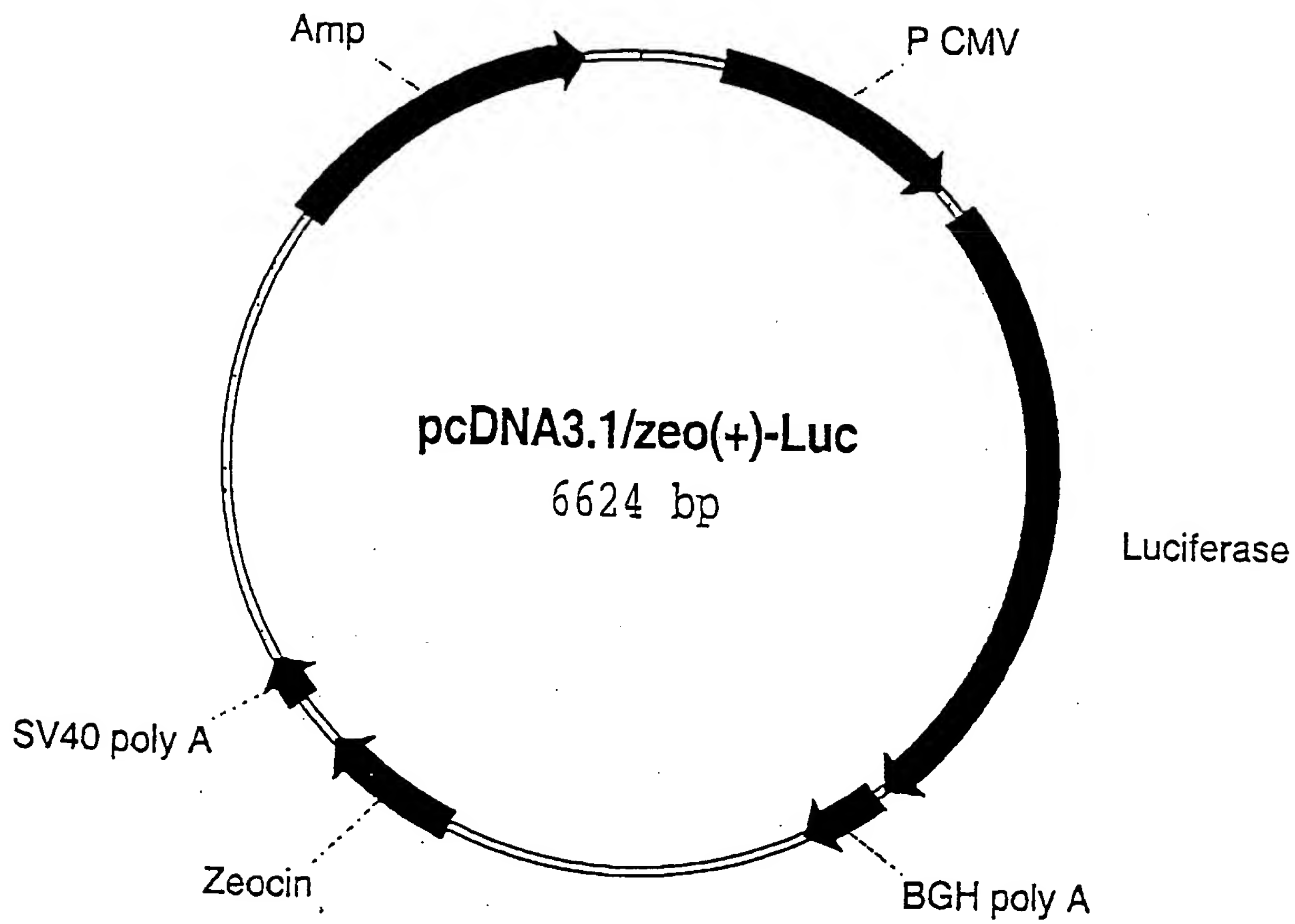


FIG. 15

004750-22679950

Synthesis of Luciferin-Conjugated Dipeptide GP5-71

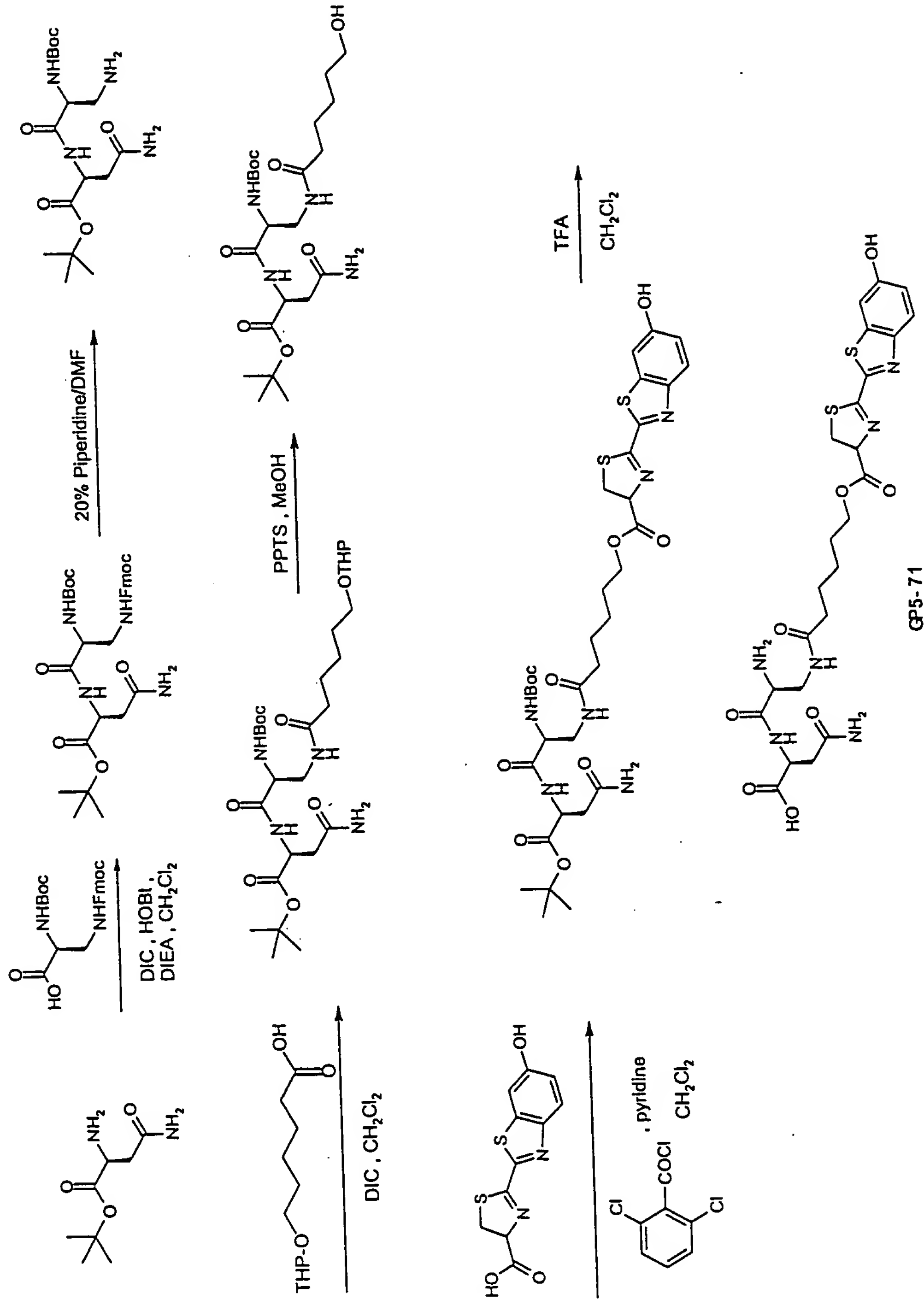


Fig. 16

Synthesis of Luciferin-Glycocholate Ester Conjugate CZ15-73

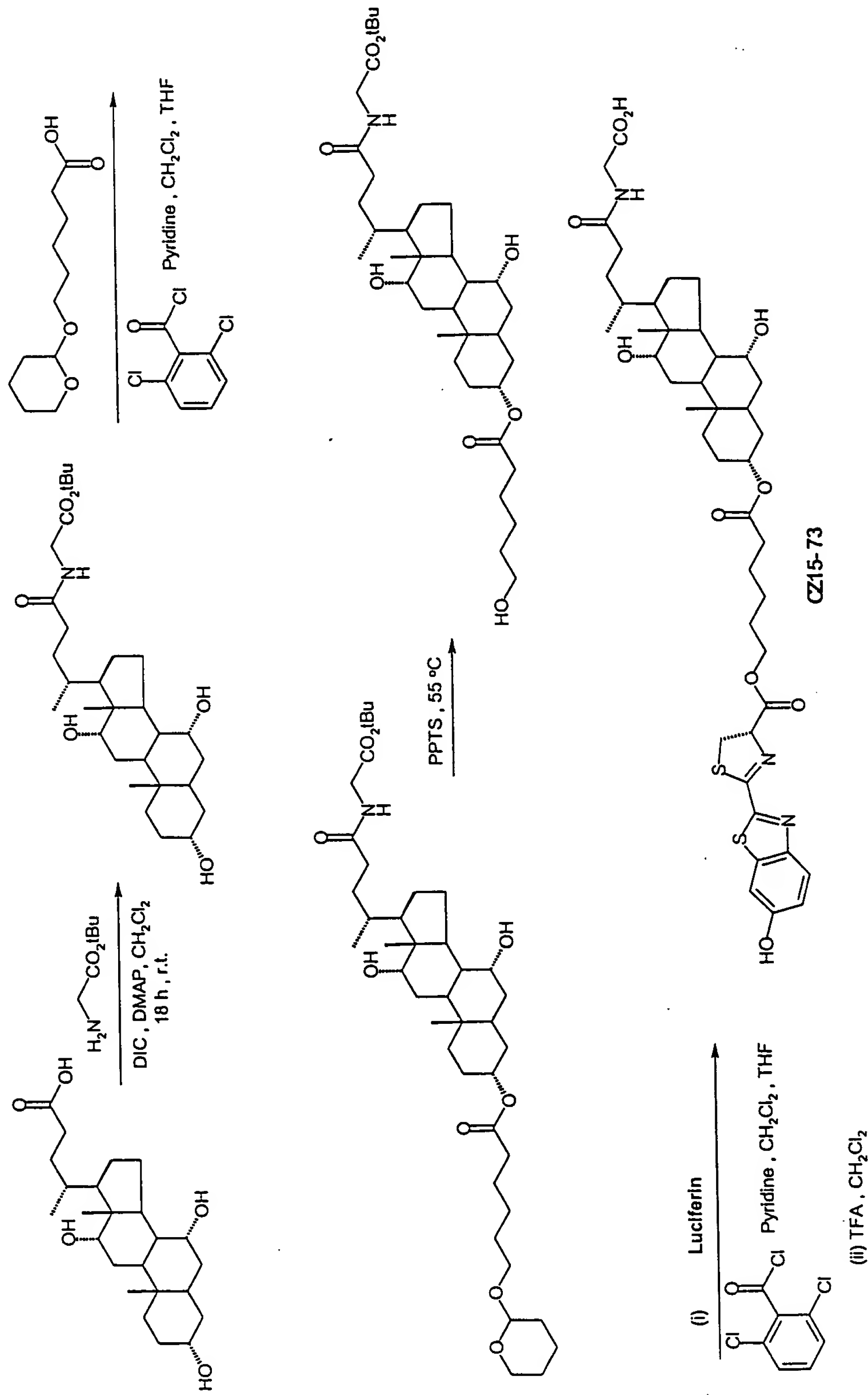


FIG. 17

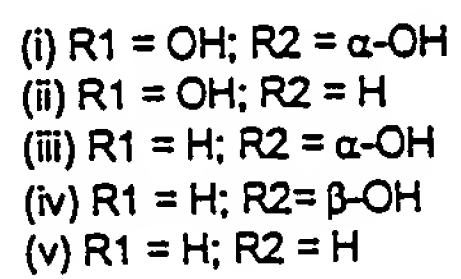
[illegible]

FIG. 18

Synthesis of Conditionally Fluorescent Dipeptide GP5-75-2

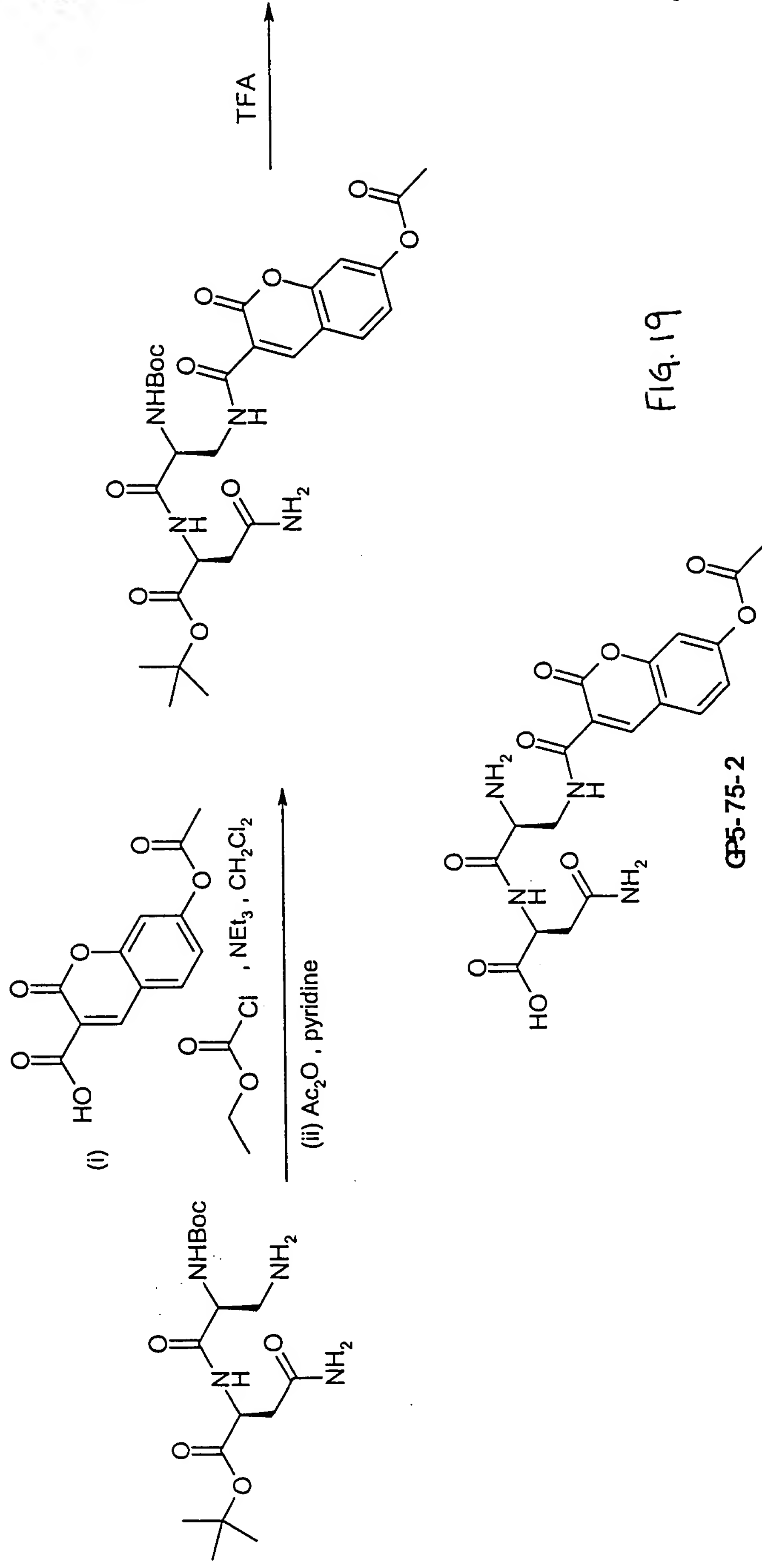


Fig. 19

Synthesis of Conditionally Fluorescent Dipeptide GP5-77

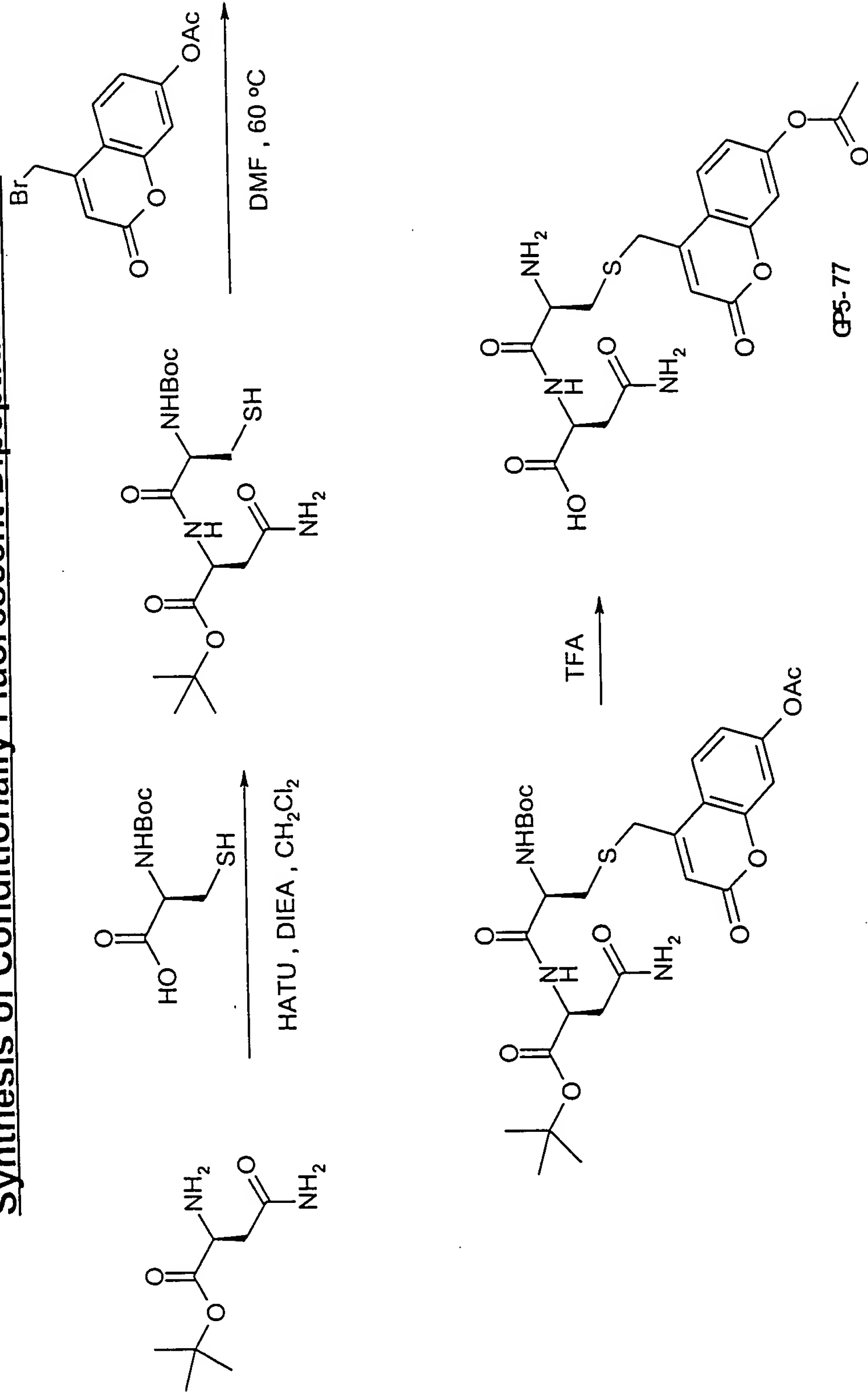


FIG. 2D

Synthesis of Conditionally Fluorescent Dipeptide GP5-00

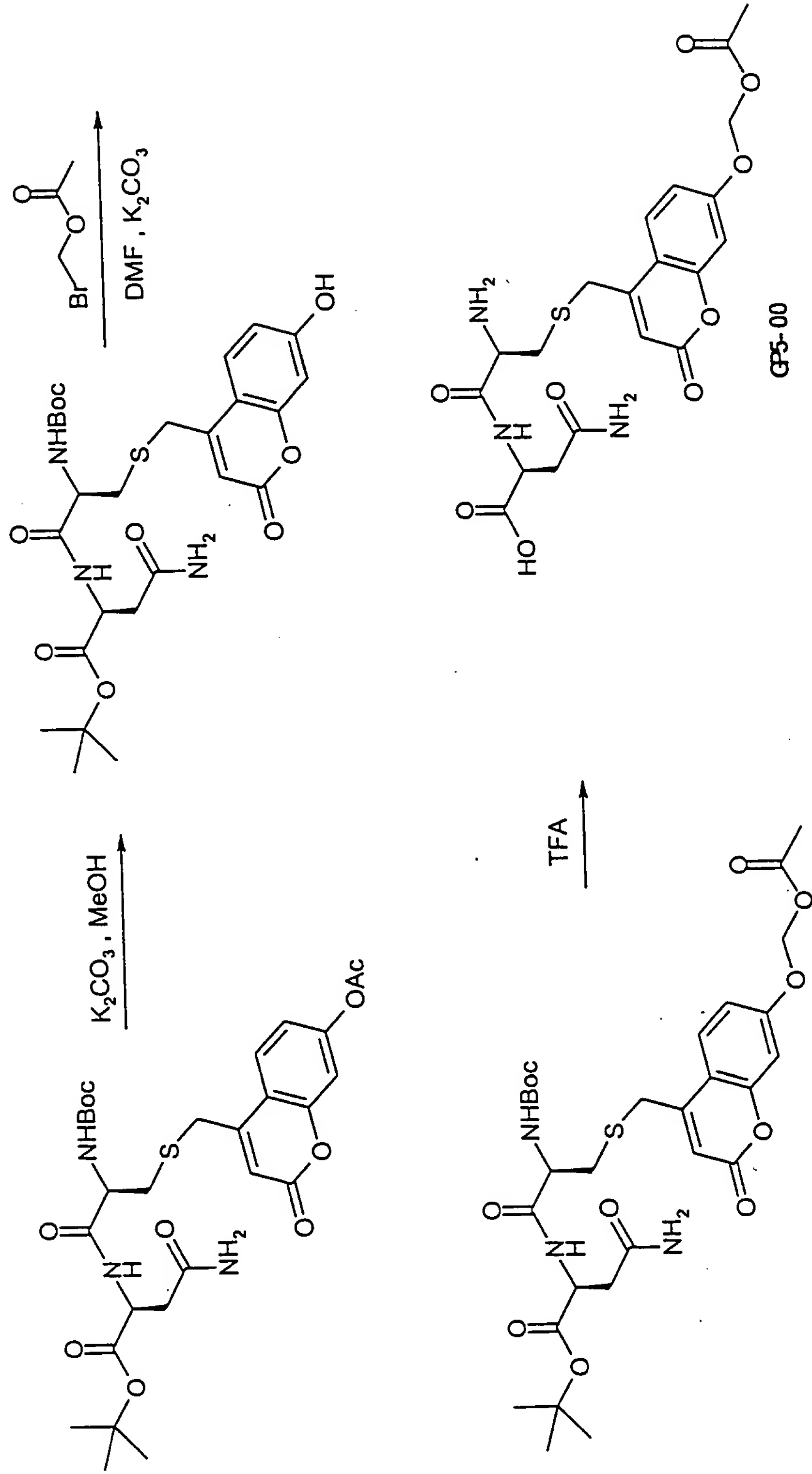


FIG. 21

Preparation of Cholestyl-L-Lys-(ϵ -NBD)-OH

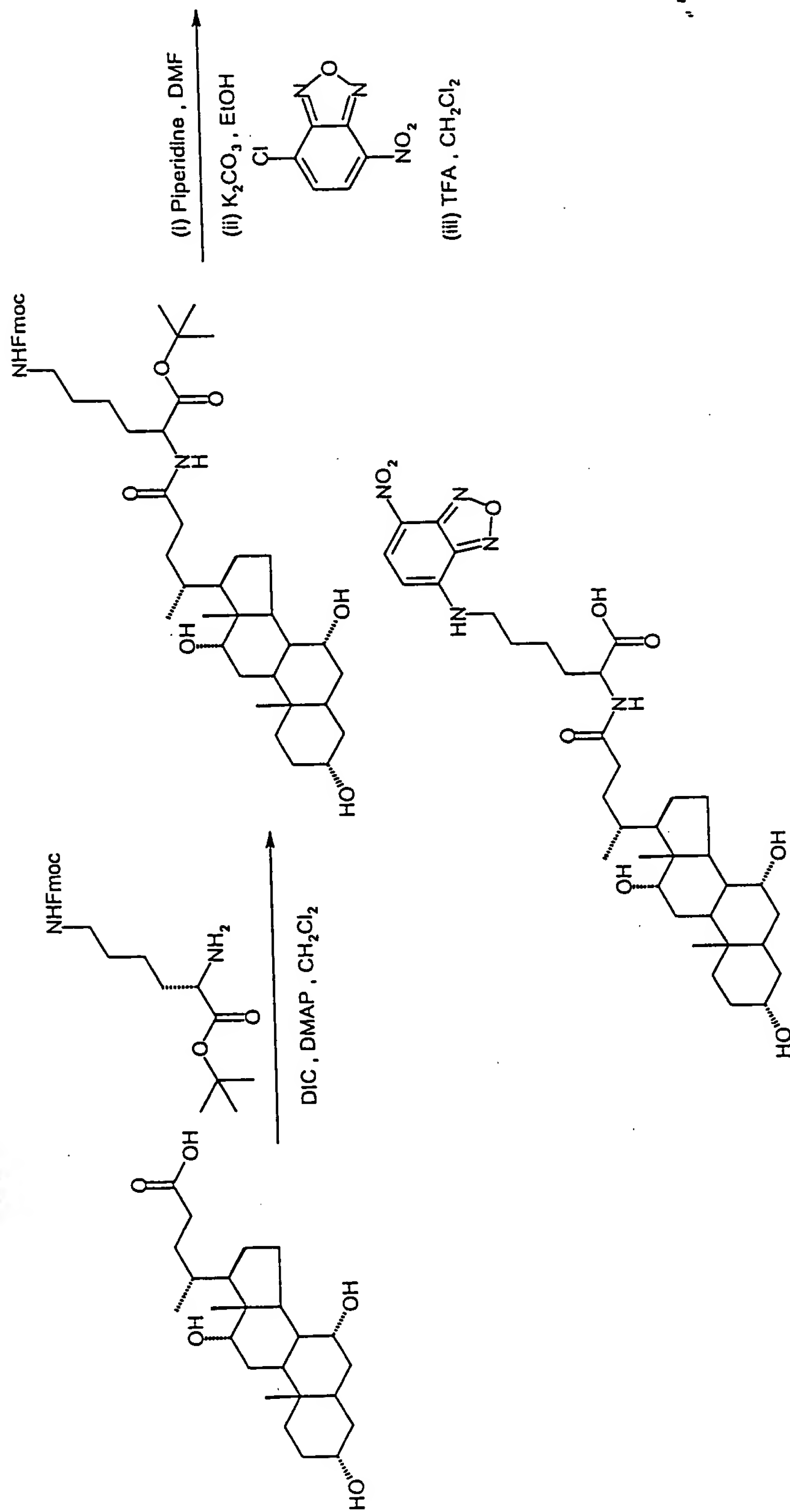


FIG. 22

Preparation of Cholesteryl-Lysine Conjugate of Naproxen

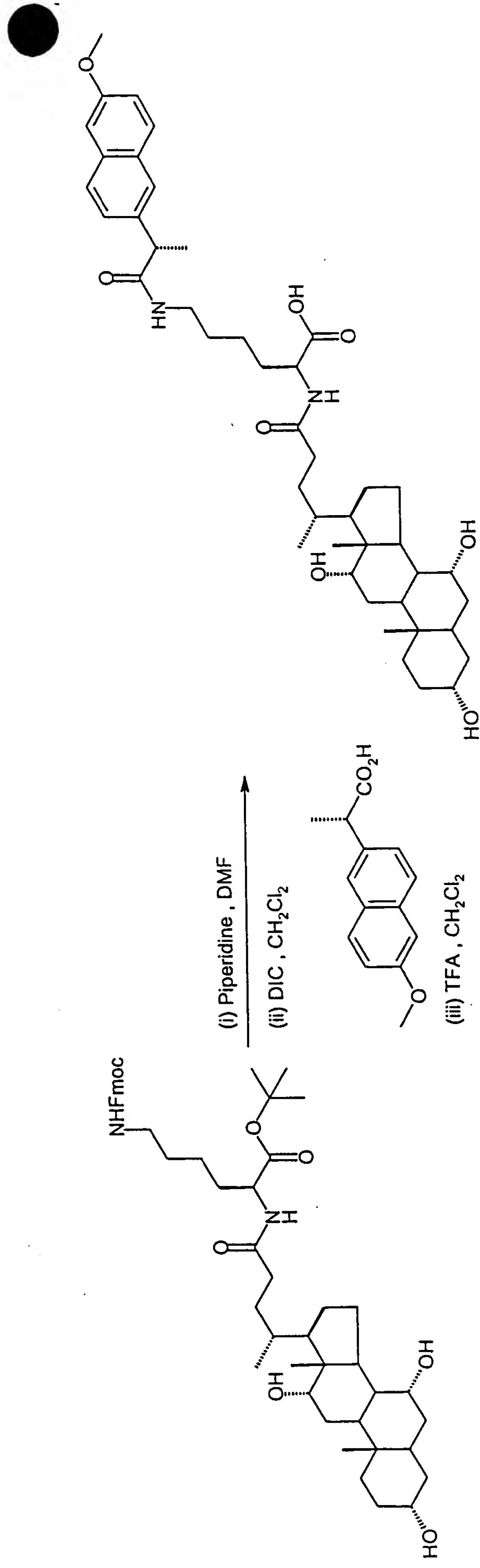


FIG. 23

Preparation of Cholestyl L-Dopa

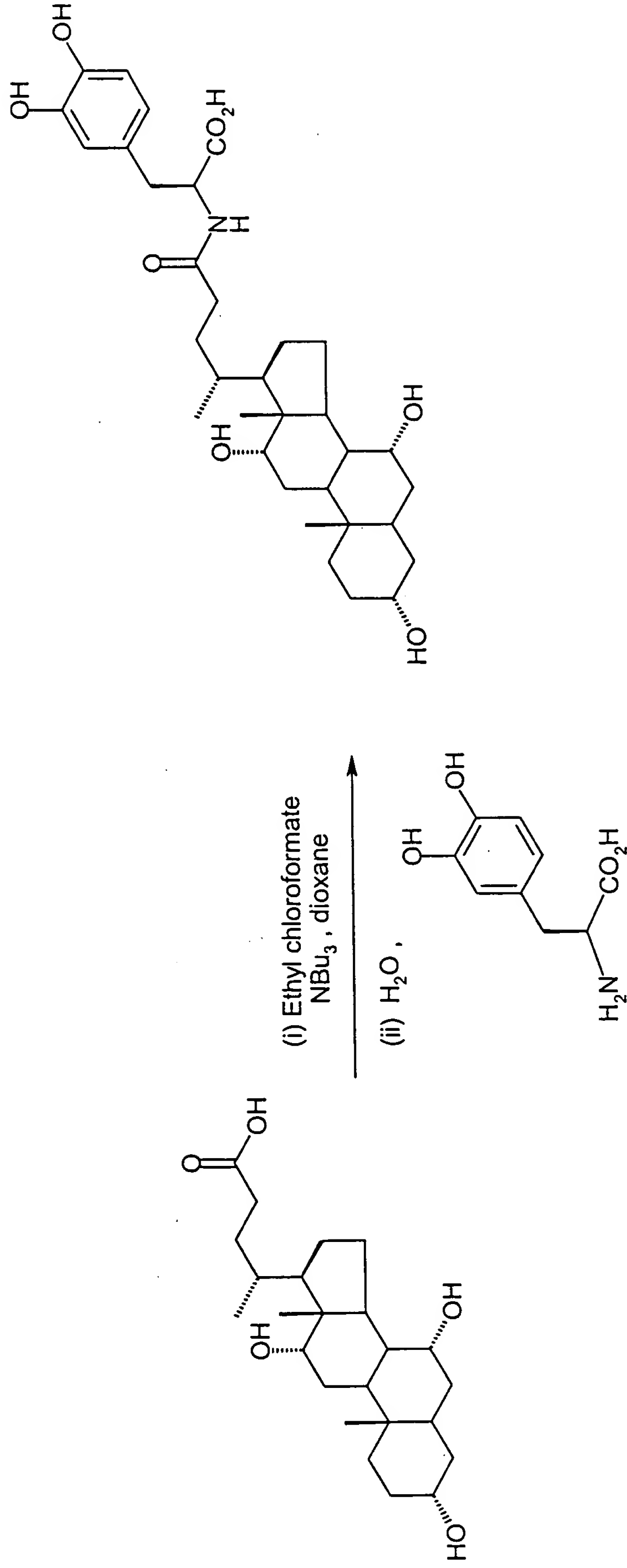


Fig. 24

DOT 50" 225T9950

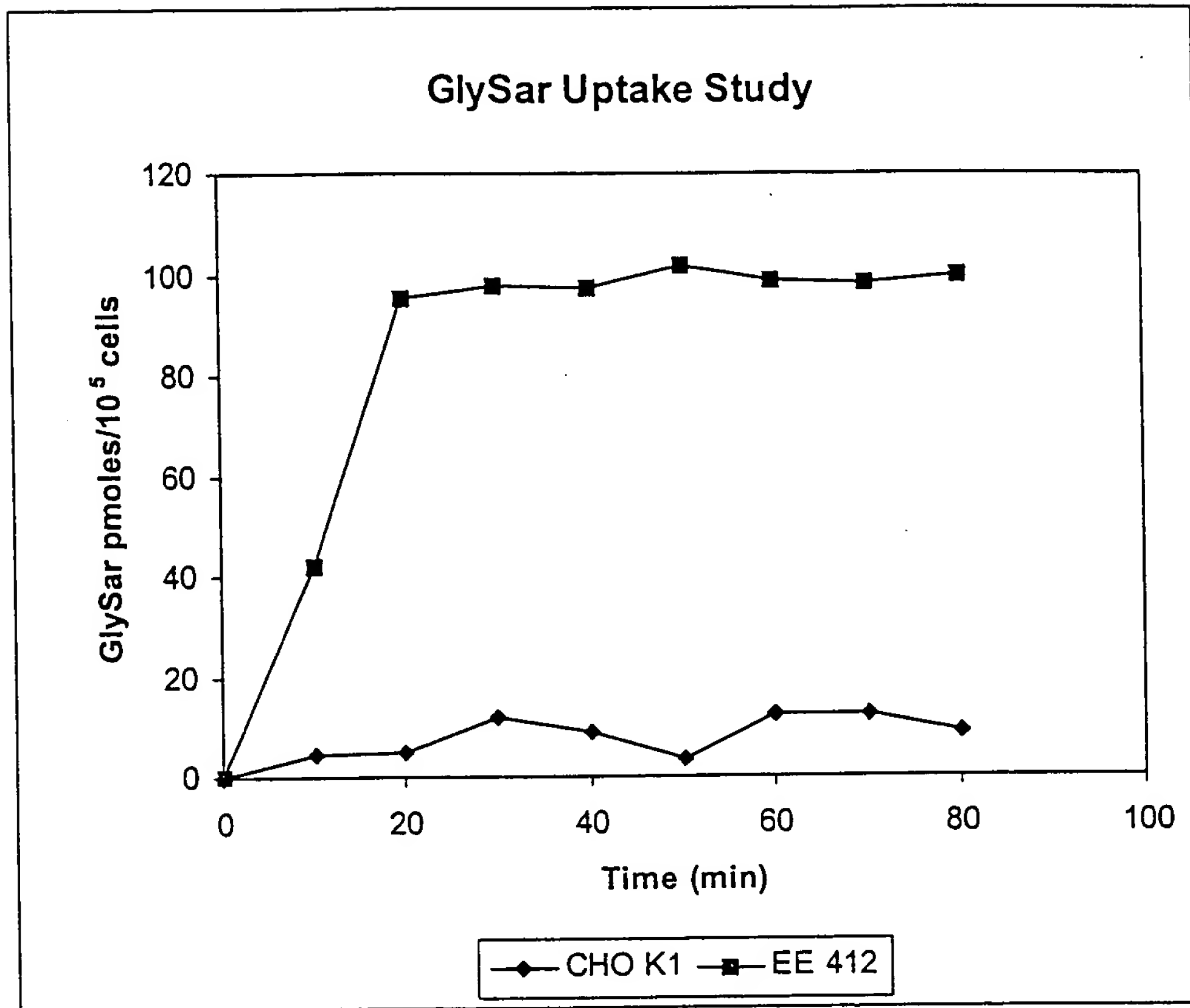


FIG. 25

Trans-stimulation Assays

³H-GlySar efflux by unlabeled
GlySar in CHO-PEPT1 cells

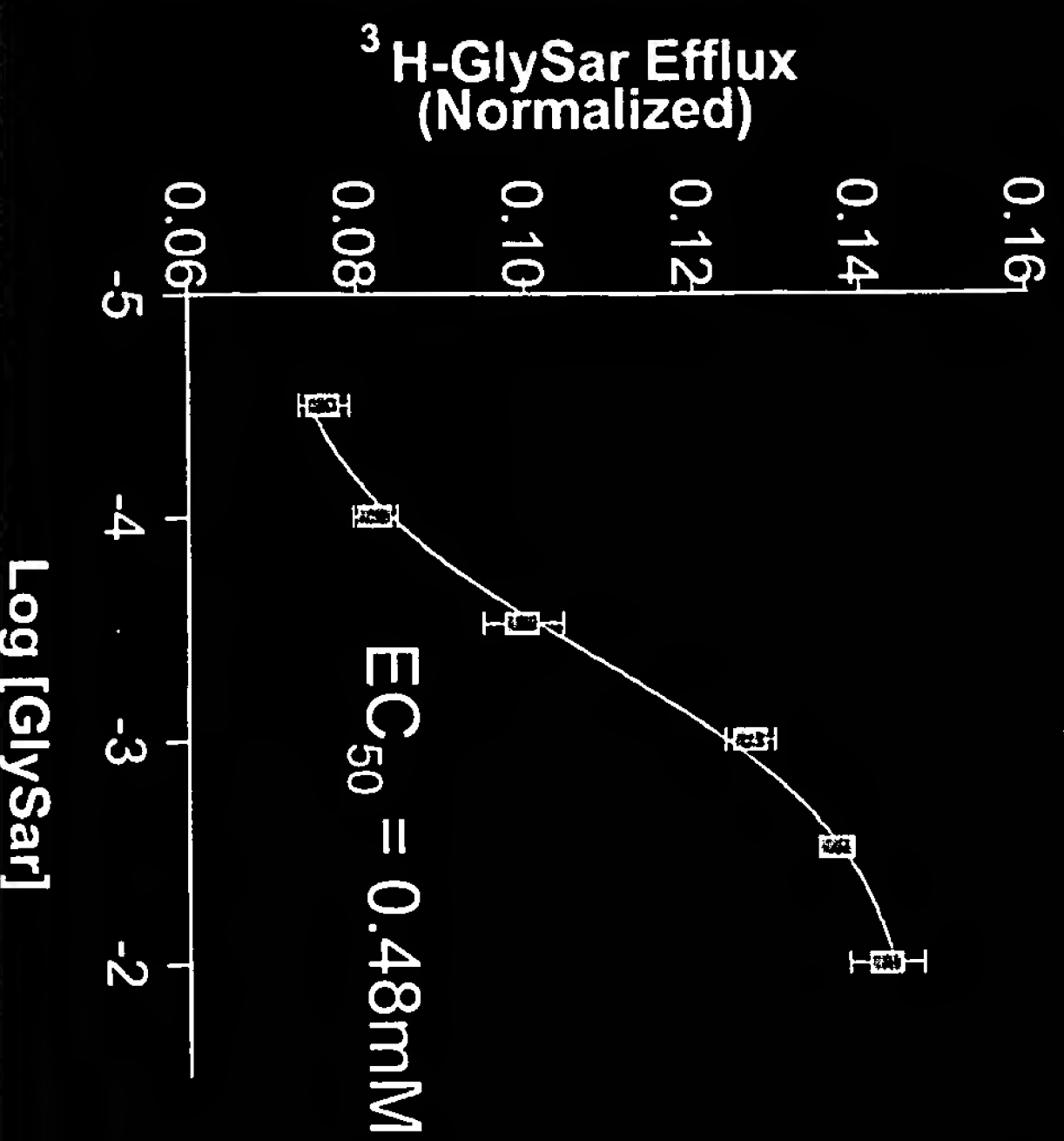


FIG. 26 A

³H-Taurocholate efflux by Cholate
analogues in CHO-LBAT cells

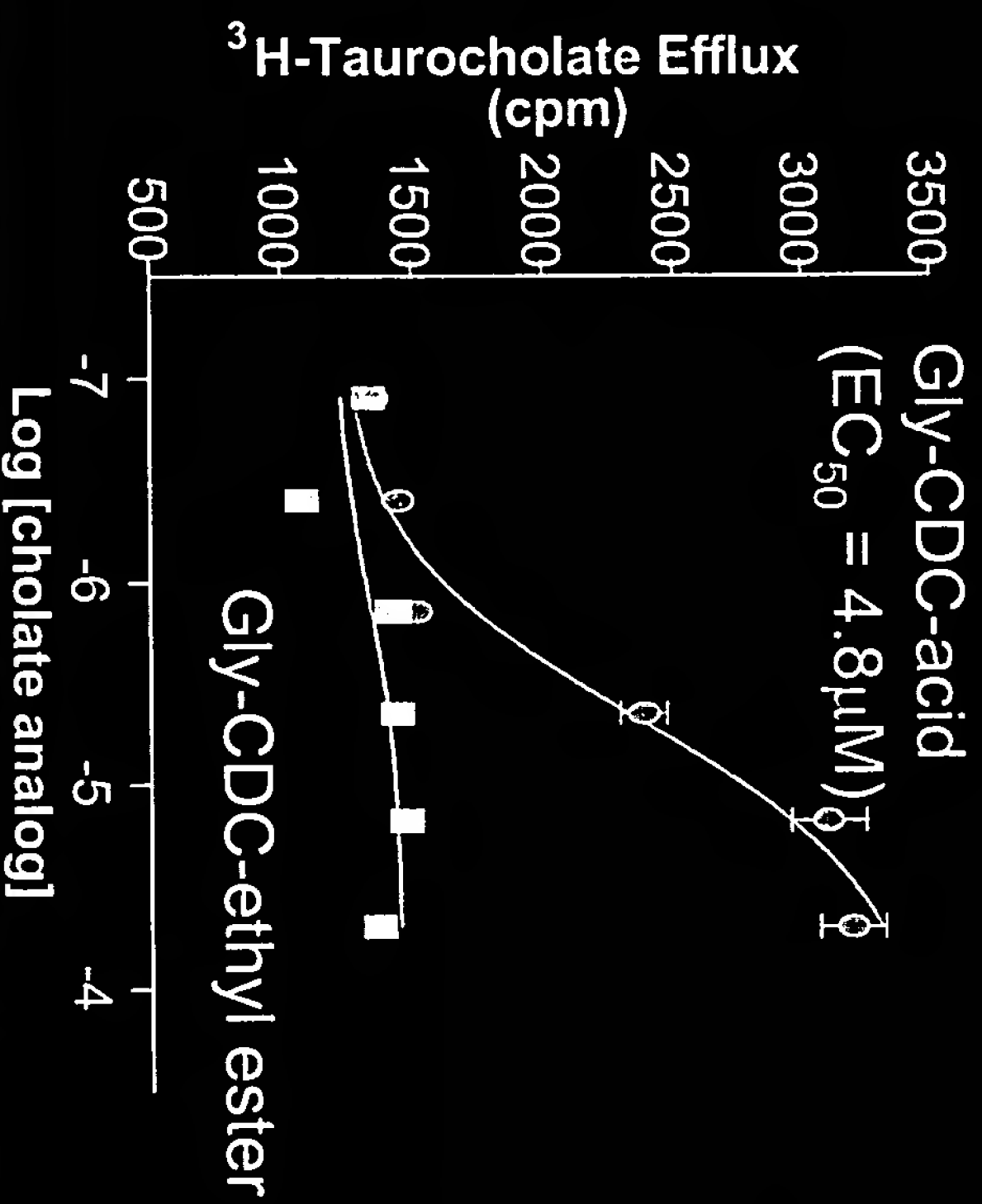
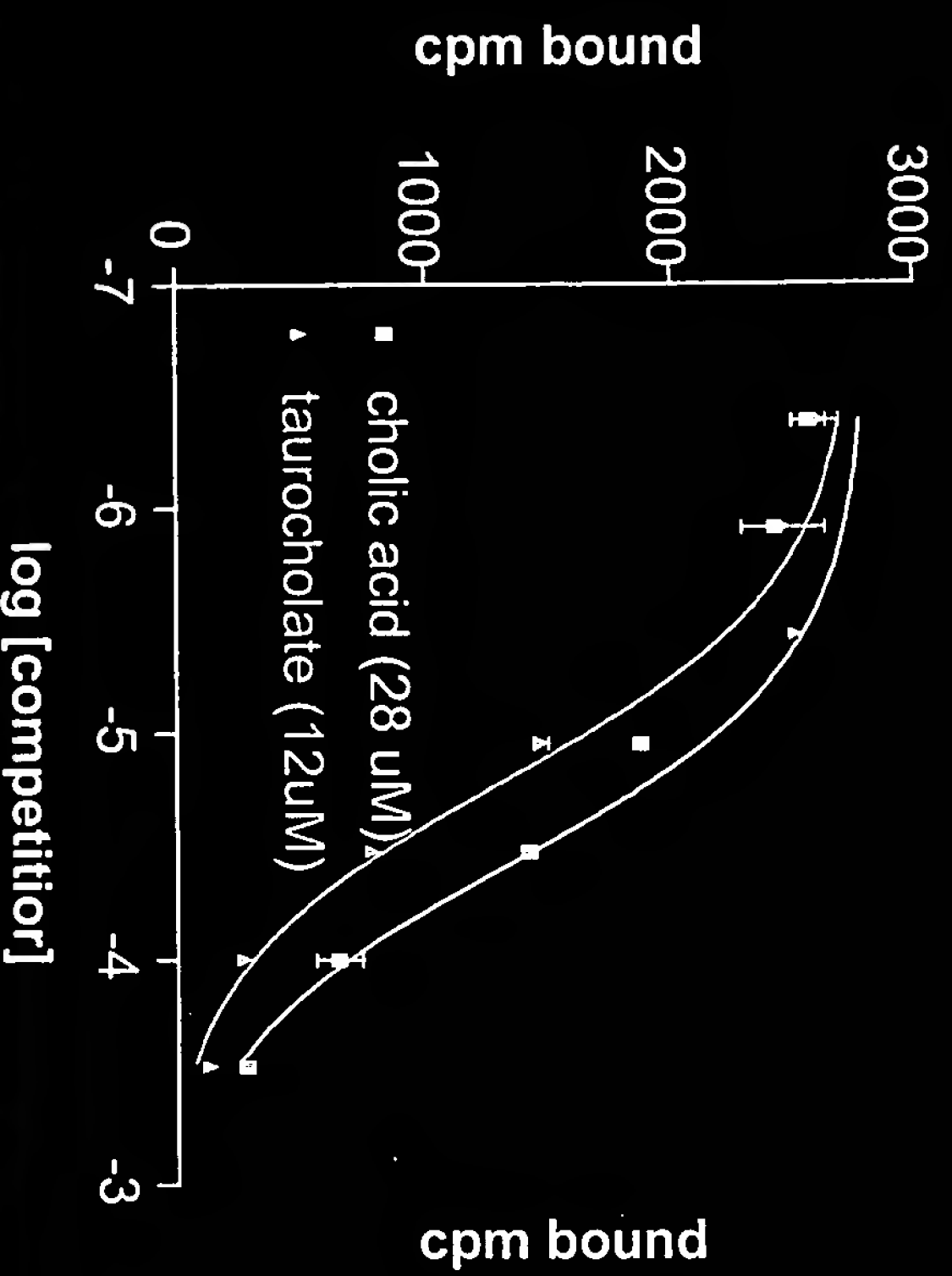


FIG. 26 B

Inhibitory Activity of Known Substrates

^3H -Taurocholate Inhibition CHO-IBAT



^3H -Gly-Sar Inhibition CHO-PEPT1

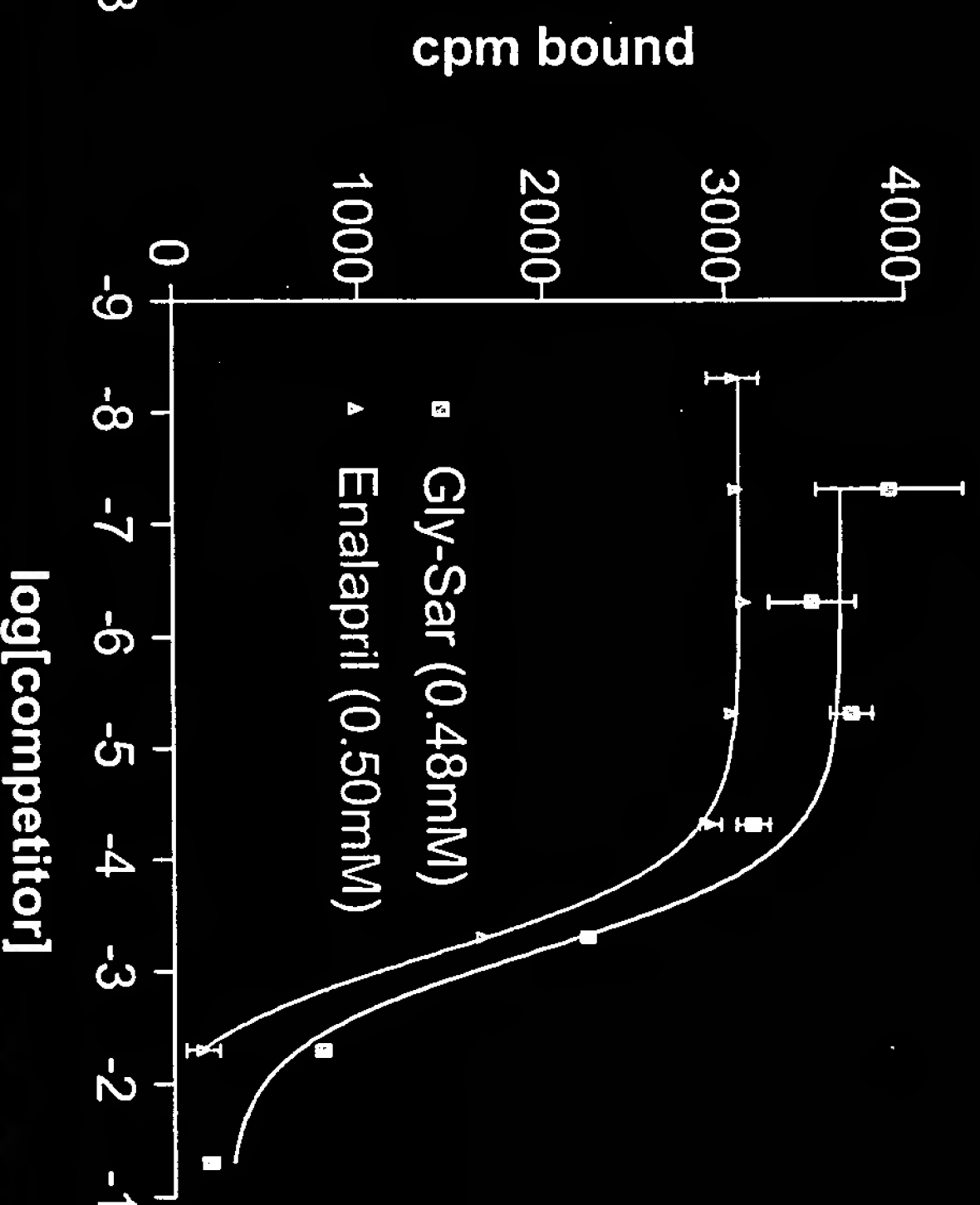


FIG. 27A

FIG. 27B

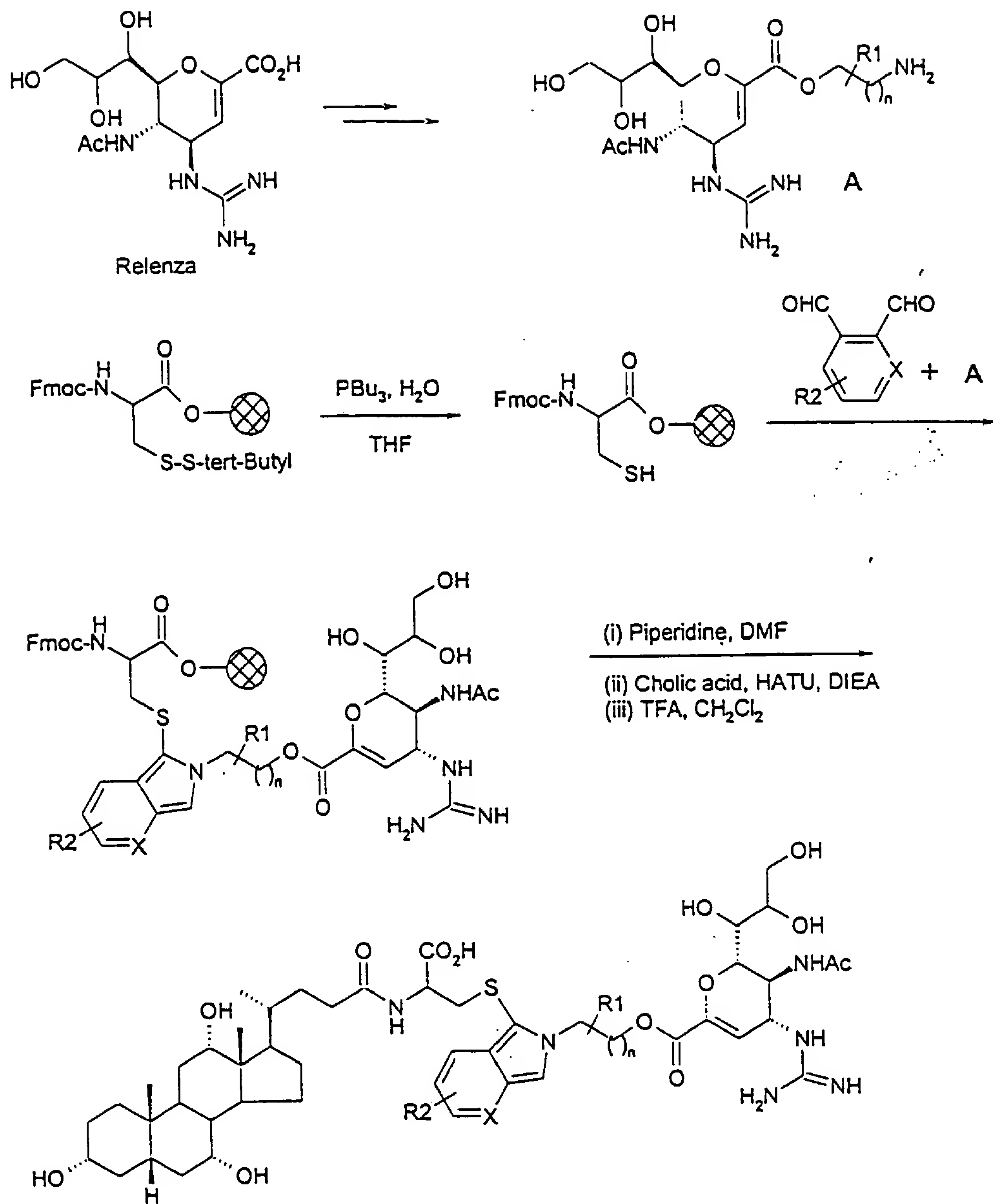


FIG. 28

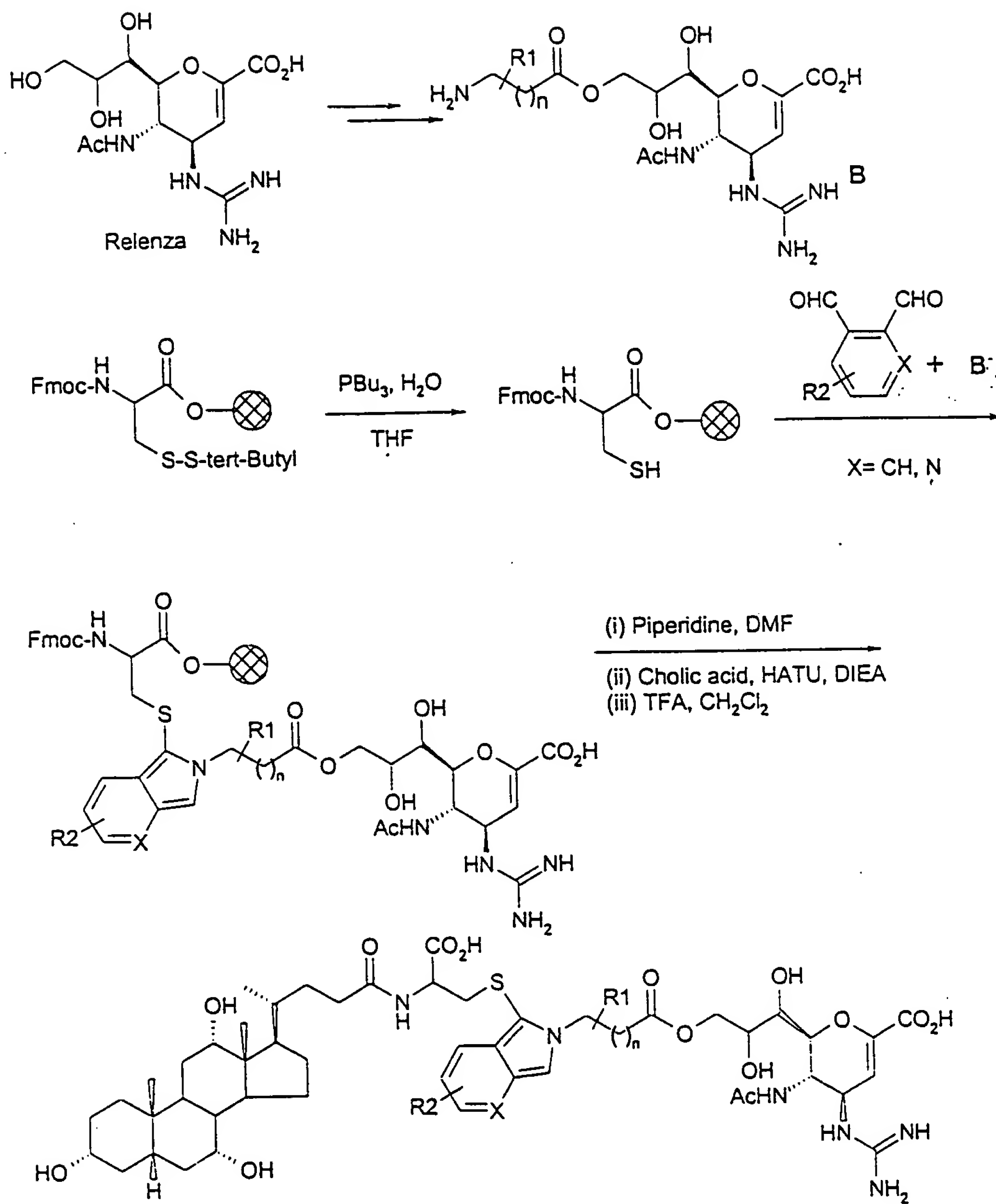


FIG. 29

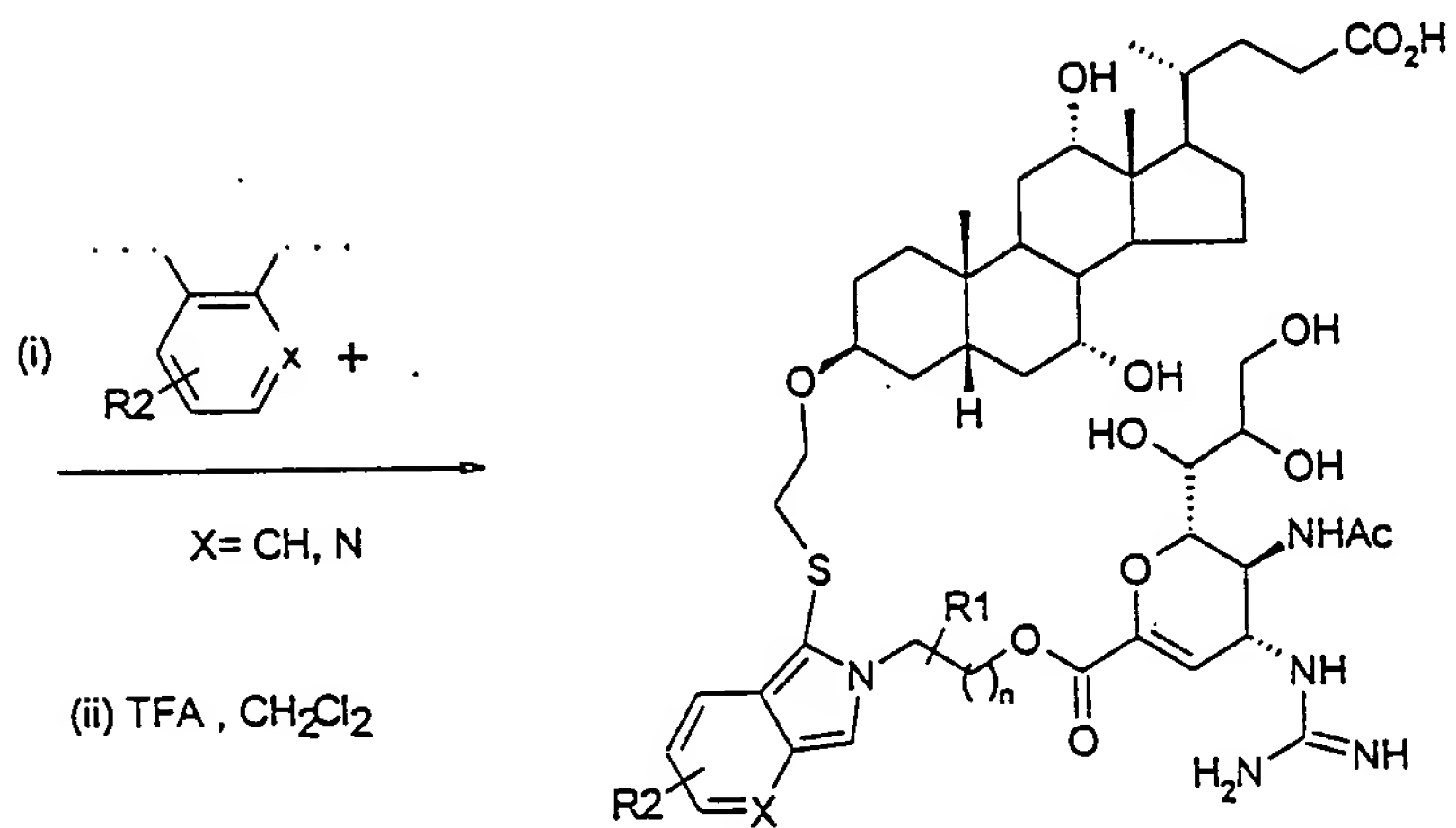
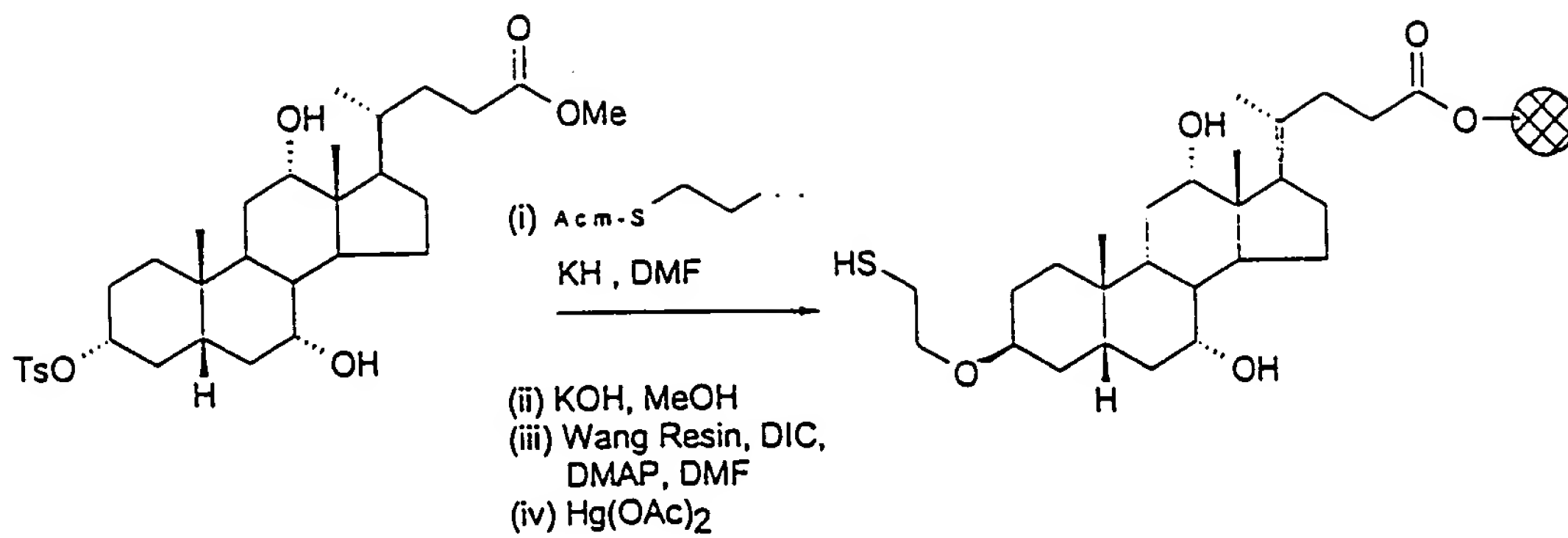


FIG. 30